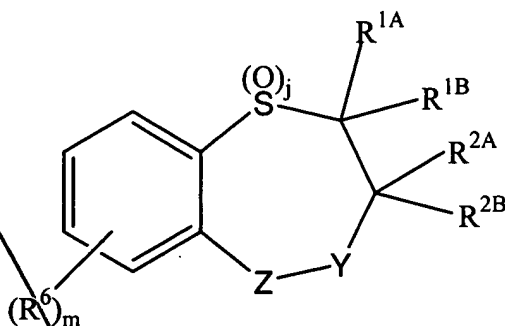


WHAT WE CLAIM IS:

1. A compound of Formula I:



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wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

$R^{2A}$  and  $R^{2B}$  together with the carbon atom to which they are attached form a  $C_{3-10}$  cycloalkyl group; and

one of Z and Y is  $NR^3$  and the other of Z and Y is  $CHR^4$ ;

wherein  $R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl, and  $R^5$ ; and

wherein  $R^5$  is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl;  $-OR^9$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ; and  $-SO_3R^9$ ;

wherein the  $R^5$  alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl;

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haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^5$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^5$  radical optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^7-$ ;  $-N^+R^7R^8A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^7A^-$ ;  $-PR^7-$ ;  $-P(O)R^7-$ ;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

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wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

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wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-;  $-NR^9$ ;  $-N^+R^9R^{10}A^-$ ; -S-; -SO-;  $-SO_2$ -;  $-S^+R^9A^-$ ;  $-PR^9$ -;  $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9$ -; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

one or more  $R^6$  radicals are independently selected from the group consisting of  $R^5$ , hydrogen; halogen; -CN;  $-NO_2$ ; alkyl; cycloalkyl; polyalkyl; haloalkyl;

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hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)2R<sup>13</sup>; -SO3R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO2R<sup>13</sup>; -OM; -SO2OM; -SO2NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R<sup>6</sup> alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO2R<sup>9</sup>; -SO3R<sup>16</sup>; -CO2R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO2NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>6</sup> quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO2; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO2R<sup>13</sup>; -SO3R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO2R<sup>13</sup>; OM; -SO2OM; -SO2NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>6</sup> radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR<sup>13</sup>-; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -S-; -SO-; -SO2-; -S<sup>+</sup>R<sup>13</sup>A<sup>-</sup>; -PR

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13-; -P(O)R<sup>13</sup>-; -PR<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; or -P(O)R<sup>9</sup>-; and

wherein R<sup>18</sup> is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R<sup>8</sup> alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO<sub>2</sub>; oxo; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is R<sup>5</sup>; and

provided that at least one of the following conditions is satisfied:

(a) the R<sup>5</sup> moiety possesses an overall positive charge;

(b) the R<sup>5</sup> moiety comprises a quaternary ammonium group or a quaternary amine salt;

(c) the R<sup>5</sup> moiety comprises a phosphonic acid group or at least two carboxyl groups; or

(d) the R<sup>5</sup> moiety comprises a polyethylene glycol group having a molecular weight of at least 1000.

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2. A compound of Claim 1 wherein R<sup>5</sup> is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R<sup>5</sup> aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R<sup>5</sup> aryl optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

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wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;



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alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;  
quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl;  
alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;  
carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted  
with one or more radicals selected from the group consisting of halogen; -CN; sulfo;  
oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl;  
quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl;  
guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>;  
-CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>;  
-S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;  
alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;  
quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl;  
alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;  
carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or  
more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>  
-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue;  
peptide residue; or polypeptide residue; and

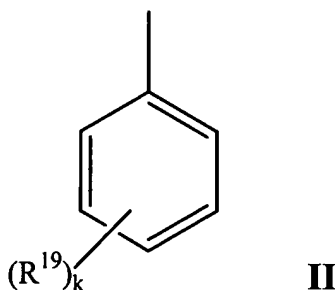
wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup>  
and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically  
acceptable cation.

3. A compound of claim 2 wherein R<sup>5</sup> is:

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wherein

k is 0, 1, 2, 3 or 4; and

one or more  $R^{19}$  are independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the  $R^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the  $R^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

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alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R<sup>13</sup> and R<sup>14</sup> together with the nitrogen atom to which they are

attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

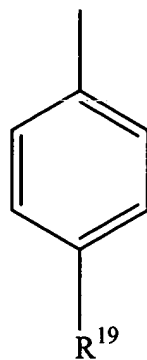
wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>-; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>-; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>-; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

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wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and  
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

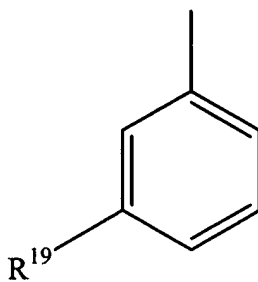
4. A compound of claim 3 wherein  $R^5$  is:



**IIA**

wherein  $R^{19}$  is as defined in Claim 3.

5. A compound of claim 3 wherein  $R^5$  is:



**IIB**

wherein  $R^{19}$  is as defined in Claim 3.

6. A compound of claim 3 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen and alkyl.

7. A compound of claim 3 wherein:

$R^3$  is selected from the group consisting of hydrogen and alkyl; and

$R^4$  is  $R^5$ .

8. A compound of claim 3 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl; thioacyl, and  $-OR^9$ ;

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wherein the  $R^4$  alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^4$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl;

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quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R<sup>4</sup> radical optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

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heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one



or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

9. A compound of claim 3 wherein:

R<sup>3</sup> is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR<sup>9</sup>;

wherein the R<sup>3</sup> alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R<sup>3</sup> radical optionally may be further substituted with one

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wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

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wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl;

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quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl;  
alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;  
carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or  
more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-;  
-P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue;  
peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R<sup>4</sup> is R<sup>5</sup>.

10. A compound of claim 3 wherein:

R<sup>19</sup> is independently selected from the group consisting of -OR<sup>13</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, -OC(O)NR<sup>13</sup>R<sup>14</sup>, and -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>, and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, -SR<sup>9</sup>, -S(O)R<sup>9</sup>, -S(O)<sub>2</sub>R<sup>9</sup>, -S(O)<sub>3</sub>R<sup>9</sup>, -NR<sup>9</sup>R<sup>10</sup>, -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, -CONR<sup>9</sup>R<sup>10</sup>, and -PO(OR<sup>16</sup>)OR<sup>17</sup>, and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

11. A compound of claim 3 wherein:

$R^{19}$  is independently selected from the group consisting of  $-OR^{13}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-OC(O)NR^{13}R^{14}$ , and  $-NR^{13}SO_2R^{14}$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl; and alkylheterocyclalkyl,

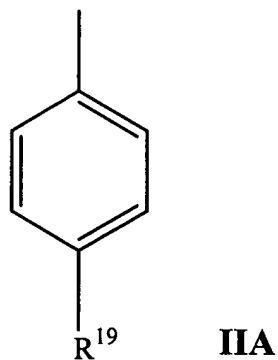
wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-SR^9$ ,  $-S(O)R^9$ ,  $-S(O)_2R^9$ ,  $-S(O)_3R^9$ ,  $-NR^9R^{10}$ ,  $-N^+R^9R^{11}R^{12}A^-$ ,  $-CONR^9R^{10}$ , and  $-PO(OR^{16})OR^{17}$ , and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

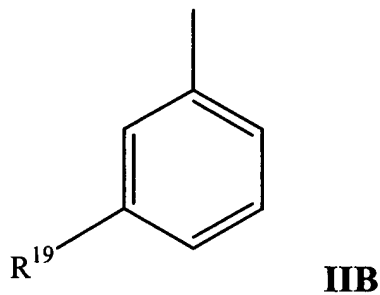
wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

12. A compound of claim 10 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 10.

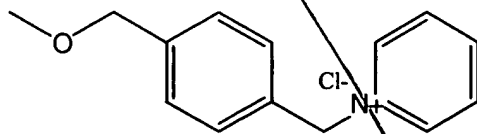
13. A compound of claim 10 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 10.

14. A compound of claim 10 wherein  $R^{19}$  is selected from the group consisting

of:



0 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99



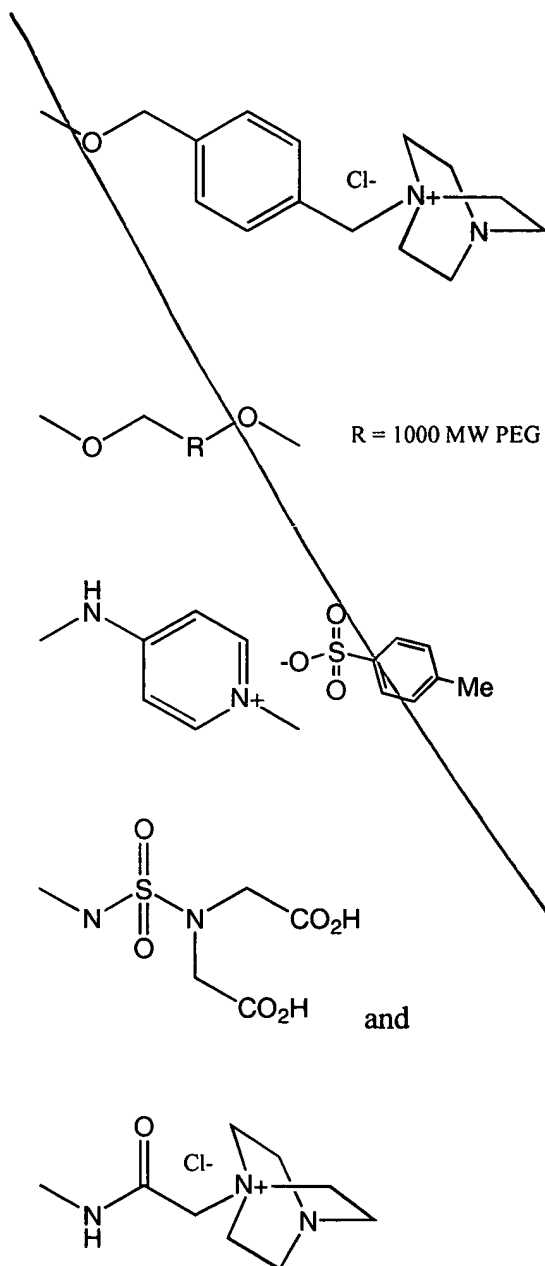
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15. A compound of claim 3 wherein:

j is 2;

R<sup>1A</sup> and R<sup>1B</sup> are independently selected from hydrogen and alkyl; and

R<sup>2A</sup> and R<sup>2B</sup> are independently selected from hydrogen and alkyl.

16. A compound of claim 3 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from alkyl.

17. A compound of claim 3 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl.

18. A compound of claim 3 wherein j is 1 or 2.

19. A compound of claim 3 wherein j is 2.

20. A compound of claim 3 wherein  $R^{1A}$  and  $R^{1B}$  are hydrogen.

21. A compound of claim 3 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.

22. A compound of claim 3 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.

23. A compound of claim 3 wherein  $R^{2A}$  and  $R^{2B}$  are the same alkyl.

24. A compound of claim 3 wherein  $R^{2A}$  and  $R^{2B}$  are each n-butyl.

25. A compound of claim 3 wherein one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of

$R^{2A}$  and  $R^{2B}$  is n-butyl.

26. A compound of claim 3 wherein one or more  $R^6$  are independently selected from methoxy and dimethylamino.

27. A compound of claim 3 wherein

j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

28. A compound of claim 3 wherein

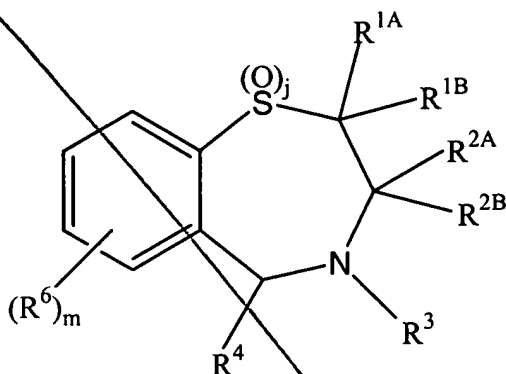
j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

29. A compound of claim 1 corresponding to Formula IA:



IA

wherein:

j is 0, 1 or 2; and

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m is 0, 1, 2, 3 or 4; and

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

$R^{2A}$  and  $R^{2B}$  together with the carbon atom to which they are attached form a  $C_{3-7}$  cycloalkyl group; and

$R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl, and  $R^5$ ; and

wherein  $R^5$  is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl;  $-OR^9$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ; and  $-SO_3R^9$ ;

wherein the  $R^5$  alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^5$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo;

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alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;  
quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -  
CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl,  
alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and  
polyether substituents of the R<sup>5</sup> radical optionally may have one or more carbons  
replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -  
P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of  
hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting  
of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl;  
alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl;  
carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino;  
carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of  
hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl;  
heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl;  
cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -  
SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a  
cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group

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consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl;

alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R<sup>6</sup> radicals are independently selected from the group consisting of R<sup>5</sup>, hydrogen; halogen; -CN; -NO<sub>2</sub>; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R<sup>6</sup> alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>6</sup> quaternary heterocyclalkyl radical optionally may be

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substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>6</sup> radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR<sup>13</sup>-; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>13</sup>A<sup>-</sup>; -PR<sup>13</sup>-; -P(O)R<sup>13</sup>-; -PR<sup>13</sup>R<sup>14</sup>-; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; or -P(O)R<sup>9</sup>-; and

wherein R<sup>18</sup> is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R<sup>18</sup> alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO<sub>2</sub>; oxo; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; and -C(O)OM; or



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a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of  $R^3$ ,  $R^4$  and  $R^6$  is  $R^5$ ; and

provided that the  $R^5$  alkyl, cycloalkyl, aryl, heterocyclyl, and  $-OR^9$  radicals are not substituted with  $-O(CH_2)_{1-4}NR'R''R'''$  wherein  $R'$ ,  $R''$  and  $R'''$  are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

- (a) the  $R^5$  moiety possesses an overall positive charge; and/or
- (b) the  $R^5$  moiety comprises a quaternary ammonium group or a quaternary amine salt; and/or
- (c) the  $R^5$  moiety comprises at least two carboxy groups.

30. A compound of Claim 29 wherein  $R^5$  is aryl substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^5$  aryl optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl;

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quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^5$  aryl optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^7-$ ;  $-N^+R^7R^8A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^7A^-$ ;  $-PR^7-$ ;  $-P(O)R^7-$ ;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen;  $-CN$ ; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

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heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

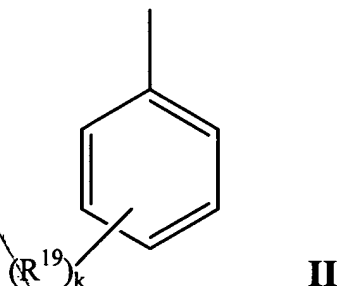
wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one

or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

31. A compound of claim 30 wherein R<sup>5</sup> is:



wherein

k is 0, 1, 2, 3 or 4; and

one or more R<sup>19</sup> are independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -

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$\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ;  $-\text{PR}^{13}\text{R}^{14}$ ;  $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ;  $-\text{P}(\text{OR}^{13})\text{OR}^{14}$ ;  $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ; and  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ; and

wherein the  $\text{R}^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of  $-\text{CN}$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl;  $-\text{OR}^7$ ;  $-\text{NR}^7\text{R}^8$ ;  $-\text{SR}^7$ ;  $-\text{S}(\text{O})\text{R}^7$ ;  $-\text{SO}_2\text{R}^7$ ;  $-\text{SO}_3\text{R}^7$ ;  $-\text{CO}_2\text{R}^7$ ;  $-\text{CONR}^7\text{R}^8$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ;  $-\text{P}(\text{O})\text{R}^7\text{R}^8$ ;  $-\text{PR}^7\text{R}^8$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ; and  $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ ; and

wherein the  $\text{R}^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^7-$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^7\text{A}^-$ ;  $-\text{PR}^7-$ ;  $-\text{P}(\text{O})\text{R}^7-$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ ; or phenylene; and

wherein  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $\text{R}^9$ ,  $\text{R}^{10}$ , and  $\text{R}^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $\text{R}^{11}$  and  $\text{R}^{12}$  are independently selected from the group consisting of hydrogen;  $-\text{CN}$ ; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-\text{OR}^9$ ;  $-\text{NR}^9\text{R}^{10}$ ;  $-\text{SR}^9$ ;  $-\text{P}(\text{O})\text{R}^9$ ;  $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ ; and  $-\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ ; and

S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R<sup>13</sup> and R<sup>14</sup> together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R<sup>14</sup> and R<sup>15</sup> together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>;

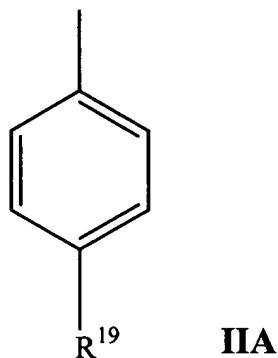
$-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9-$ ;  $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9-$ ; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and

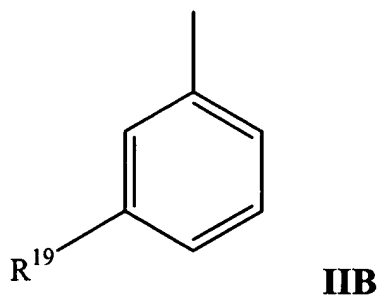
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

32. A compound of claim 31 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 31.

33. A compound of claim 31 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 31.

34. A compound of claim 31 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen and alkyl.

35. A compound of claim 31 wherein:

$R^3$  is selected from the group consisting of hydrogen and alkyl; and

$R^4$  is  $R^5$ .

36. A compound of claim 31 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and  $-OR^9$ ;

wherein the  $R^4$  alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ; -

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$\text{CO}_2\text{R}^{13}$ ;  $-\text{OM}$ ;  $-\text{SO}_2\text{OM}$ ;  $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{OM}$ ;  $-\text{COR}^{13}$ ;  $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$ ;  $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$ ;  $-\text{OC}(\text{O})\text{R}^{13}$ ;  $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SOR}^{14}$ ;  $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ;  $-\text{PR}^{13}\text{R}^{14}$ ;  $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ;  $-\text{P}(\text{OR}^{13})\text{OR}^{14}$ ;  $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ; and  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $\text{R}^4$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-\text{CN}$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl;  $-\text{OR}^7$ ;  $-\text{NR}^7\text{R}^8$ ;  $-\text{SR}^7$ ;  $-\text{S}(\text{O})\text{R}^7$ ;  $-\text{SO}_2\text{R}^7$ ;  $-\text{SO}_3\text{R}^7$ ;  $-\text{CO}_2\text{R}^7$ ;  $-\text{CONR}^7\text{R}^8$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ;  $-\text{P}(\text{O})\text{R}^7\text{R}^8$ ;  $-\text{PR}^7\text{R}^8$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ; and  $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $\text{R}^4$  radical optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^7-$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^7\text{A}^-$ ;  $-\text{PR}^7-$ ;  $-\text{P}(\text{O})\text{R}^7-$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ ; or phenylene; and

wherein  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $\text{R}^9$ ,  $\text{R}^{10}$ , and  $\text{R}^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

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wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl;

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heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

37. A compound of claim 31 wherein:

R<sup>3</sup> is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR<sup>9</sup>;

wherein the R<sup>3</sup> alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -

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$\text{NR}^{13}\text{R}^{14}$ ;  $-\text{SR}^{13}$ ;  $-\text{S}(\text{O})\text{R}^{13}$ ;  $-\text{SO}_2\text{R}^{13}$ ;  $-\text{SO}_3\text{R}^{13}$ ;  $-\text{NR}^{13}\text{OR}^{14}$ ;  $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ;  $-\text{CO}_2\text{R}^{13}$ ;  $-\text{OM}$ ;  $-\text{SO}_2\text{OM}$ ;  $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{OM}$ ;  $-\text{COR}^{13}$ ;  $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$ ;  $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$ ;  $-\text{OC}(\text{O})\text{R}^{13}$ ;  $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SOR}^{14}$ ;  $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ;  $-\text{PR}^{13}\text{R}^{14}$ ;  $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ;  $-\text{P}(\text{OR}^{13})\text{OR}^{14}$ ;  $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ; and  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $\text{R}^3$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-\text{CN}$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl;  $-\text{OR}^7$ ;  $-\text{NR}^7\text{R}^8$ ;  $-\text{SR}^7$ ;  $-\text{S}(\text{O})\text{R}^7$ ;  $-\text{SO}_2\text{R}^7$ ;  $-\text{SO}_3\text{R}^7$ ;  $-\text{CO}_2\text{R}^7$ ;  $-\text{CONR}^7\text{R}^8$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ;  $-\text{P}(\text{O})\text{R}^7\text{R}^8$ ;  $-\text{PR}^7\text{R}^8$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ; and  $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $\text{R}^3$  radical optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^7-$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^7\text{A}^-$ ;  $-\text{PR}^7-$ ;  $-\text{P}(\text{O})\text{R}^7-$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ ; or phenylene; and

wherein  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $\text{R}^9$ ,  $\text{R}^{10}$ , and  $\text{R}^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl;

carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be

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substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>-; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>-; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>-; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R<sup>4</sup> is R<sup>5</sup>.

38. A compound of claim 31 wherein:

R<sup>19</sup> is independently selected from the group consisting of -OR<sup>13</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, -OC(O)NR<sup>13</sup>R<sup>14</sup>, and -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>, and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group

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consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or  $N^+R^9R^{10}A^-$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-SR^9$ ,  $-S(O)R^9$ ,  $-S(O)_2R^9$ ,  $-S(O)_3R^9$ ,  $-NR^9R^{10}$ ,  $-N^+R^9R^{11}R^{12}A^-$ ,  $-CONR^9R^{10}$ , and  $-PO(OR^{16})OR^{17}$ , and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

39. A compound of claim 31 wherein:

$R^{19}$  is independently selected from the group consisting of  $-OR^{13}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-OC(O)NR^{13}R^{14}$ , and  $-NR^{13}SO_2R^{14}$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

wherein alkyl optionally has one or more carbons replaced by O or  $N^+R^9R^{10}A^-$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups

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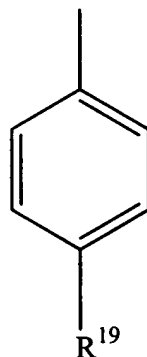
selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-\text{SR}^9$ ,  $-\text{S(O)}\text{R}^9$ ,  $-\text{S(O)}_2\text{R}^9$ ,  $-\text{S(O)}_3\text{R}^9$ ,  $-\text{NR}^9\text{R}^{10}$ ,  $-\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ,  $-\text{CONR}^9\text{R}^{10}$ , and  $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$ , and

wherein  $\text{R}^9$  and  $\text{R}^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $\text{R}^{11}$  and  $\text{R}^{12}$  are independently alkyl; and

wherein  $\text{A}^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

40. A compound of claim 38 wherein  $\text{R}^5$  is:

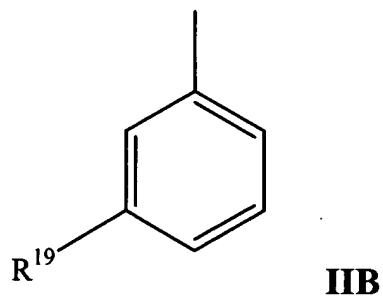


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wherein  $\text{R}^{19}$  is as defined in Claim 38.

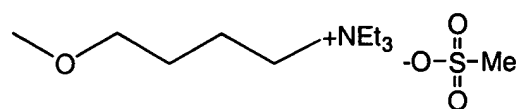
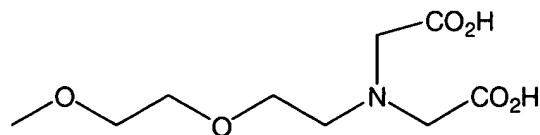
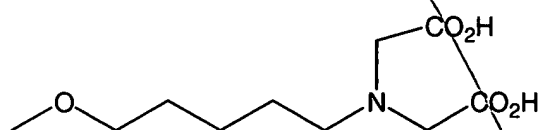
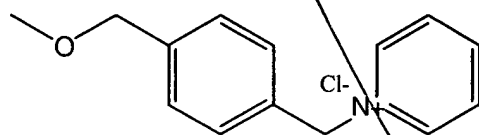
41. A compound of claim 38 wherein  $\text{R}^5$  is:





wherein R<sup>19</sup> is as defined in Claim 38.

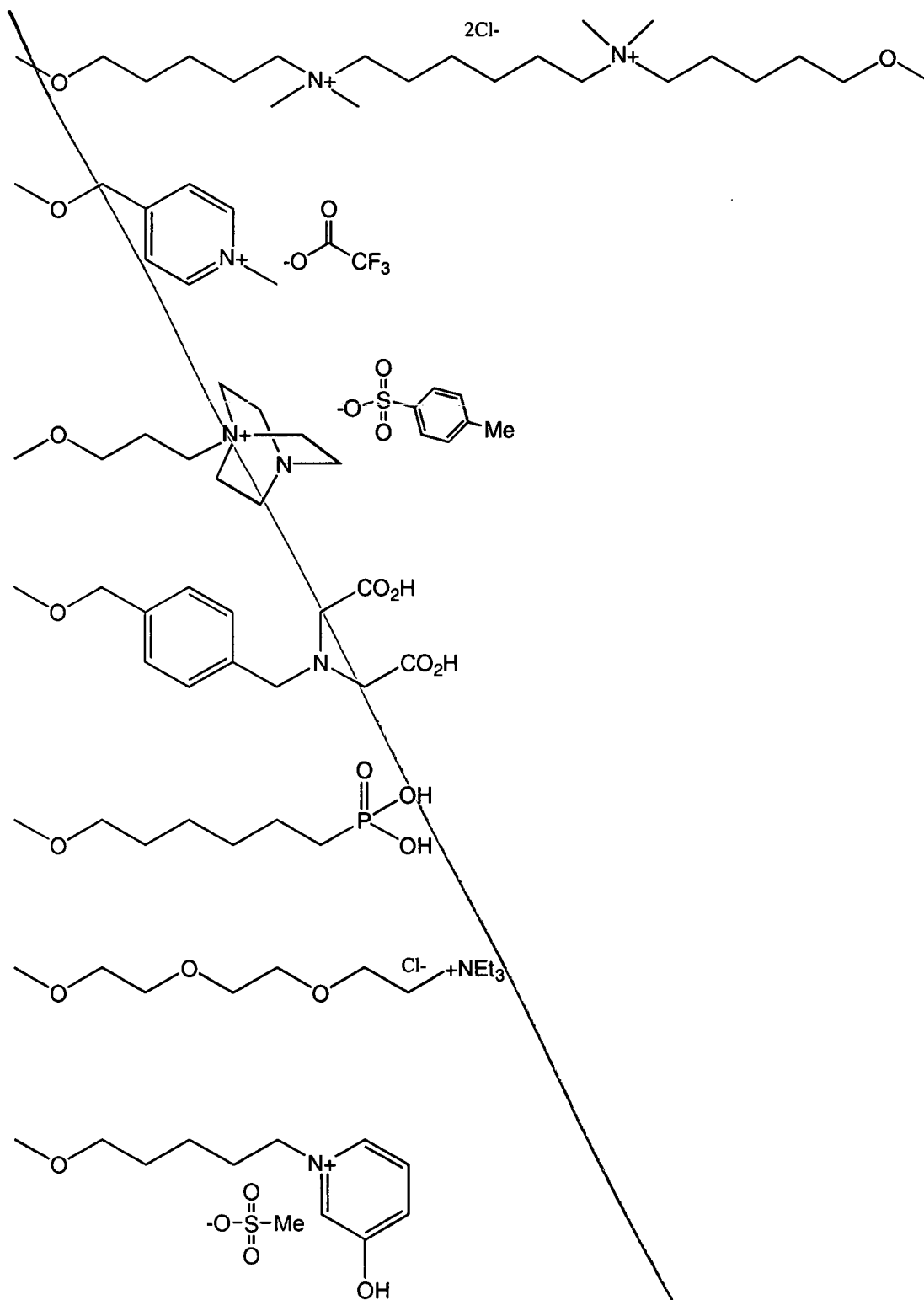
42. A compound of claim 38 wherein R<sup>19</sup> is selected from the group consisting of:



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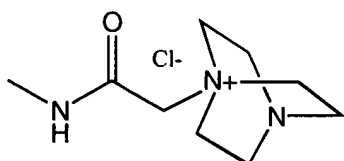
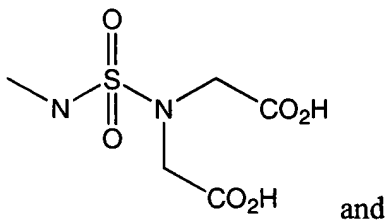
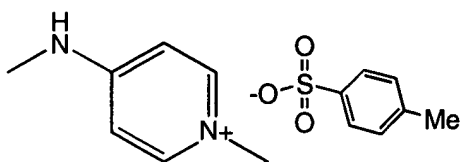
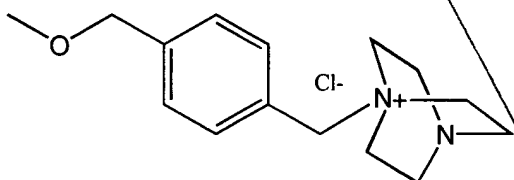
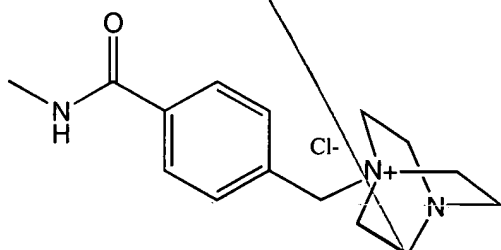
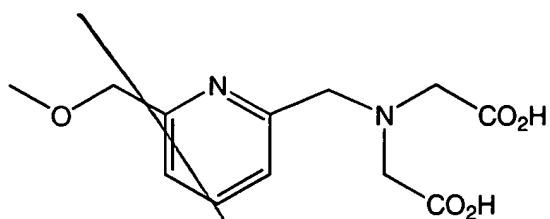
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43. A compound of claim 38 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen and alkyl.

44. A compound of claim 38 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from alkyl.

45. A compound of claim 38 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl.

46. A compound of claim 38 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is  $R^5$ ; and

$R^4$  is selected from hydrogen and alkyl.

47. A compound of claim 38 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

R<sup>3</sup> is selected from from hydrogen and alkyl; and  
R<sup>4</sup> is R<sup>5</sup>.

48. A compound of claim 38 wherein j is 1 or 2.

49. A compound of claim 38 wherein j is 2.

50. A compound of claim 38 wherein R<sup>1A</sup> and R<sup>1B</sup> are hydrogen.

51. A compound of claim 38 wherein R<sup>2A</sup> and R<sup>2B</sup> are independently selected from the group consisting of hydrogen and C<sub>1-6</sub>alkyl.

52. A compound of claim 38 wherein R<sup>2A</sup> and R<sup>2B</sup> are independently selected from the group consisting C<sub>1-6</sub> alkyl.

53. A compound of claim 38 wherein R<sup>2A</sup> and R<sup>2B</sup> are the same alkyl.

54. A compound of claim 38 wherein R<sup>2A</sup> and R<sup>2B</sup> are each n-butyl.

55. A compound of claim 38 wherein one of R<sup>2A</sup> and R<sup>2B</sup> is ethyl and the other of R<sup>2A</sup> and R<sup>2B</sup> is n-butyl.

56. A compound of claim 38 wherein one or more R<sup>6</sup> are independently selected from methoxy and dimethylamino.

57. A compound of claim 38 wherein  
j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

58. A compound of claim 38 wherein

j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

59. A compound of claim 42 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen and alkyl.

60. A compound of claim 42 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from alkyl.

61. A compound of claim 42 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl.

62. A compound of claim 42 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is  $R^5$ ; and

$R^4$  is selected from hydrogen and alkyl.

63. A compound of claim 42 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is selected from from hydrogen and alkyl; and

$R^4$  is  $R^5$ .

64. A compound of claim 42 wherein j is 1 or 2.

65. A compound of claim 42 wherein j is 2.

66. A compound of claim 42 wherein  $R^{1A}$  and  $R^{1B}$  are hydrogen.

67. A compound of claim 42 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.

68. A compound of claim 42 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.

69. A compound of claim 42 wherein  $R^{2A}$  and  $R^{2B}$  are the same alkyl.

70. A compound of claim 42 wherein  $R^{2A}$  and  $R^{2B}$  are each n-butyl.

71. A compound of claim 42 wherein one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl.

72. A compound of claim 42 wherein one or more  $R^6$  are independently selected from methoxy and dimethylamino.

73. A compound of claim 42 wherein

j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

74. A compound of claim 42 wherein

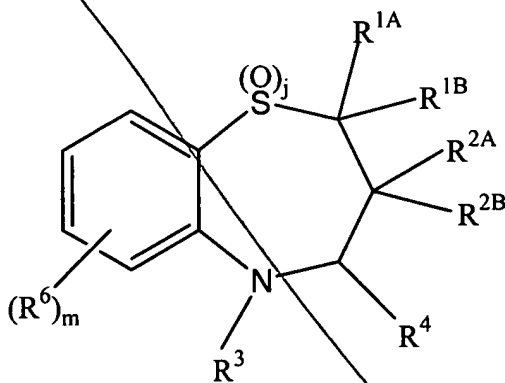
j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

75. A compound of claim 1 corresponding to Formula IB:



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wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

$R^{2A}$  and  $R^{2B}$  together with the carbon atom to which they are attached form a  $C_{3-7}$  cycloalkyl group; and

$R^3$  and  $R^4$  are independently selected from the group consisting of hydrogen, oxo, acyl, thioacyl and  $R^5$ ; and

wherein  $R^5$  is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl;  $-OR^9$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ; and  $-SO_3R^9$ ;

wherein the  $R^5$  alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl,

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and polyether substituents of the  $R^5$  radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^5$  radical optionally may have one or more carbons replaced by -O-;  $-NR^7$ -;  $-N^+R^7R^8A^-$ ; -S-; -SO-;  $-SO_2$ -;  $-S^+R^7A^-$ ;  $-PR^7$ -;  $-P(O)R^7$ -;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a

cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;

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alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R<sup>6</sup> radicals are independently selected from the group consisting of R<sup>5</sup>, hydrogen; halogen; -CN; -NO<sub>2</sub>; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R<sup>6</sup> alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>W<sup>+</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>

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; $-\text{SO}_2\text{R}^9$ ;  $-\text{SO}_3\text{R}^{16}$ ;  $-\text{CO}_2\text{R}^{16}$ ;  $-\text{CONR}^9\text{R}^{10}$ ;  $-\text{SO}_2\text{NR}^9\text{R}^{10}$ ;  $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$ ;  $-\text{P}^9\text{R}^{10}$   
; $-\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$ ;  $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ ; and carbohydrate residue; and

wherein the  $\text{R}^6$  quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen;  $-\text{CN}$ ;  $-\text{NO}_2$ ; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-\text{OR}^{13}$ ;  $-\text{NR}^{13}\text{R}^{14}$ ;  $-\text{SR}^{13}$ ;  $-\text{S}(\text{O})\text{R}^{13}$ ;  $-\text{SO}_2\text{R}^{13}$ ;  $-\text{SO}_3\text{R}^{13}$ ;  $-\text{NR}^{13}\text{OR}^{14}$ ;  $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$ ;  $-\text{CO}_2\text{R}^{13}$ ; OM;  $-\text{SO}_2\text{OM}$ ;  $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{C}(\text{O})\text{OM}$ ;  $-\text{COR}^{13}$ ;  $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ;  $-\text{P}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ;  $-\text{P}(\text{OR}^{13})\text{OR}^{14}$ ;  $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ;  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ; and carbohydrate residue; and

wherein the  $\text{R}^6$  radicals comprising carbon optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^{13}-$ ;  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^{13}\text{A}^-$ ;  $-\text{PR}^{13}-$ ;  $-\text{P}(\text{O})\text{R}^{13}-$ ;  $-\text{PR}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^9-$ ;  $-\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^9\text{A}^-$ ;  $-\text{PR}^9-$ ;  $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ ; or  $-\text{P}(\text{O})\text{R}^9-$ ; and

wherein  $\text{R}^{18}$  is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl; and

wherein the  $\text{R}^{18}$  alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen;  $-\text{CN}$ ;  $\text{NO}_2$ ; oxo; -

OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -  
CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>;  
and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is R<sup>5</sup>; and

provided that the R<sup>5</sup> alkyl, cycloalkyl, aryl, and heterocyclyl, and -OR<sup>9</sup> radicals  
are not substituted with -O(CH<sub>2</sub>)<sub>1-4</sub>NR'R''R''' wherein R', R'' and R''' are  
independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R<sup>5</sup> moiety possesses an overall positive charge;

(b) the R<sup>5</sup> moiety comprises a quaternary ammonium group or a quaternary  
amine salt; and

(c) the R<sup>5</sup> moiety comprises at least two carboxy groups.

76. A compound of Claim 75 wherein R<sup>5</sup> is aryl substituted with one or more  
radicals independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo;  
alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl;  
heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -  
NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -  
CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -  
NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -  
NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -  
P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>;  
and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

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alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^5$  aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^5$  aryl optionally may have one or more carbons replaced by -O-;  $-NR^7$ -;  $-N^+R^7R^8A^-$ ; -S-; -SO-;  $-SO_2$ -;  $-S^+R^7A^-$ ;  $-PR^7$ -;  $-P(O)R^7$ -;  $-P^+R^7R^8A^-$ ; or phenylene;

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl;

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

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$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and



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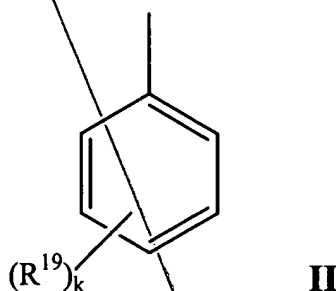
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wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9-$ ;  $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9-$ ; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

77. A compound of claim 76 wherein  $R^5$  is:



wherein

k is 0, 1, 2, 3 or 4; and

one or more  $R^{19}$  are independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;

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heterocyclalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the  $R^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclalkyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the  $R^{19}$  alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclalkyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^7-$ ;  $-N^+R^7R^8A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^7A^-$ ;  $-PR^7-$ ;  $-P(O)R^7-$ ;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $R^9$ ,  $R^{10}$ , and  $R^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclalkyl; amino; alkylamino;

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carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo;

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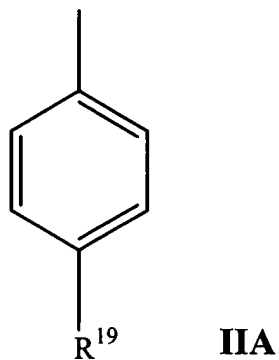
oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl;  
quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl;  
guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  
 $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  
 $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl;  
alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl;  
quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl;  
alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;  
carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or  
more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9$ ;  
 $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9-$ ; phenylene; carbohydrate residue; amino acid residue;  
peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$   
and M; and

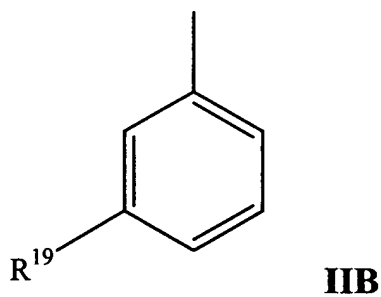
wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically  
acceptable cation.

78. A compound of claim 77 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 77.

79. A compound of claim 77 wherein  $R^5$  is:



wherein  $R^{19}$  is as defined in Claim 77.

80. A compound of claim 77 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen and alkyl.

81. A compound of claim 77 wherein:

$R^3$  is selected from the group consisting of hydrogen and alkyl; and

$R^4$  is  $R^5$ .

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82. A compound of claim 77 wherein:

$R^3$  is  $R^5$ ; and

$R^4$  is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and  $-OR^9$ ;

wherein the  $R^4$  alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^4$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^4$  radical optionally may have one or more carbons

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replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>-; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>-; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>-; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R<sup>13</sup> and R<sup>14</sup> together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one

or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of R<sup>9</sup> and M; and



wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation.

83. A compound of claim 77 wherein:

$R^3$  is selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and  $-OR^9$ ;

wherein the  $R^3$  alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^3$  radical optionally may be further substituted with one or more radicals selected from the group consisting of  $-CN$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

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alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^3$  radical optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R<sup>13</sup> and R<sup>14</sup> together with the nitrogen atom to which they are

attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-;  $-NR^9$ -;  $-N^+R^9R^{10}A^-$ ; -S-; -SO-;  $-SO_2$ -;  $-S^+R^9A^-$ ;  $-PR^9$ -;  $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9$ -; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

$R^4$  is  $R^5$ .

84. A compound of claim 77 wherein:

$R^{19}$  is independently selected from the group consisting of  $-OR^{13}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-OC(O)NR^{13}R^{14}$ , and  $-NR^{13}SO_2R^{14}$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or  $N^+R^9R^{10}A^-$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-SR^9$ ,  $-S(O)R^9$ ,  $-S(O)_2R^9$ ,  $-S(O)_3R^9$ ,  $-NR^9R^{10}$ ,  $-N^+R^9R^{11}R^{12}A^-$ ,  $-CONR^9R^{10}$ , and  $-PO(OR^{16})OR^{17}$ , and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

85. A compound of claim 77 wherein:

$R^{19}$  is independently selected from the group consisting of  $-OR^{13}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-OC(O)NR^{13}R^{14}$ , and  $-NR^{13}SO_2R^{14}$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

wherein alkyl optionally has one or more carbons replaced by O or  $N^+R^9R^{10}A^-$ , and

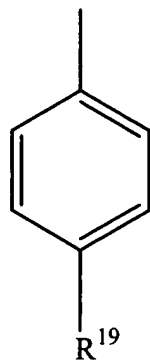
wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-SR^9$ ,  $-S(O)R^9$ ,  $-S(O)_2R^9$ ,  $-S(O)_3R^9$ ,  $-NR^9R^{10}$ ,  $-N^+R^9R^{11}R^{12}A^-$ ,  $-CONR^9R^{10}$ , and  $-PO(OR^{16})OR^{17}$ , and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

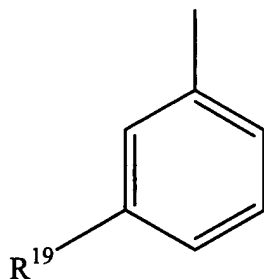
86. A compound of claim 84 wherein  $R^5$  is:



**IIA**

wherein  $R^{19}$  is as defined in Claim 84.

87. A compound of claim 84 wherein  $R^5$  is:

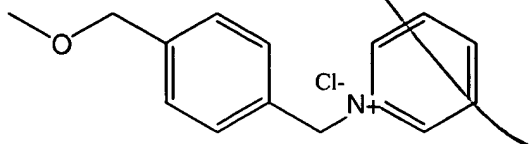


**IIB**

wherein  $R^{19}$  is as defined in Claim 84.

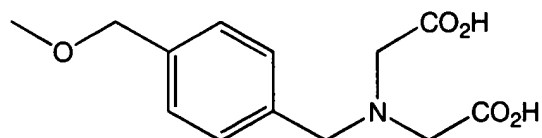
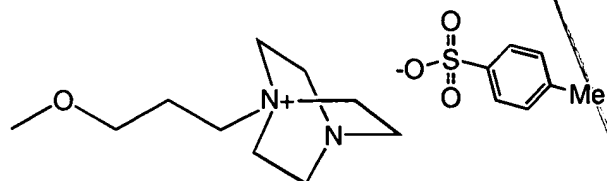
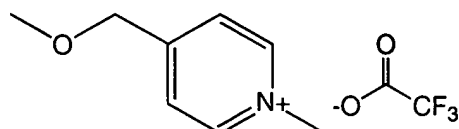
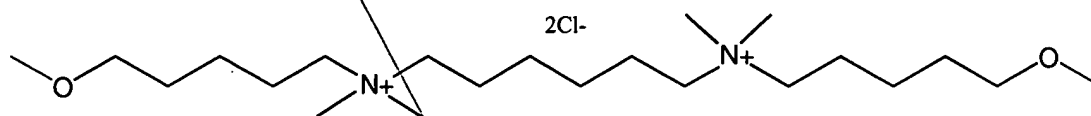
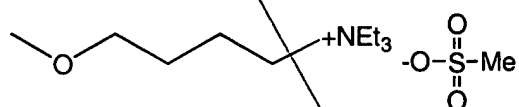
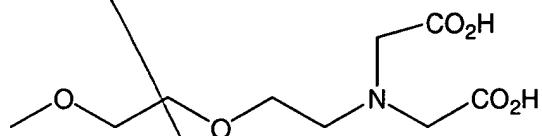
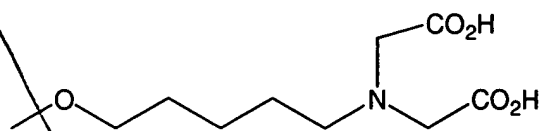
88. A compound of claim 84 wherein  $R^{19}$  is selected from the group consisting of:

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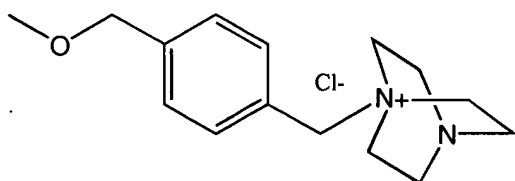
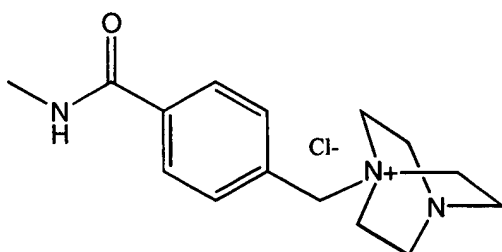
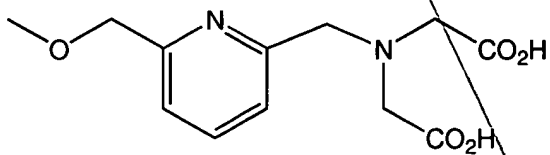
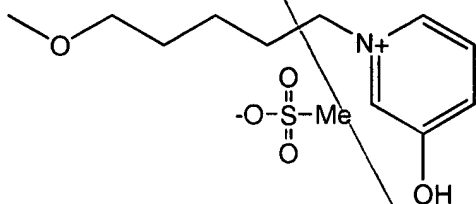
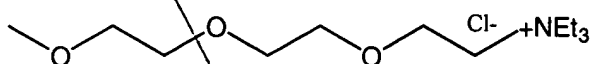
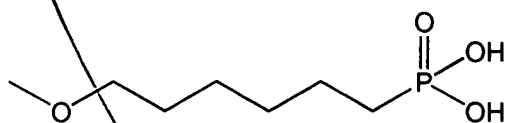
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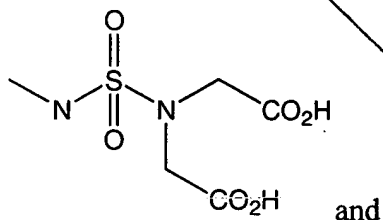
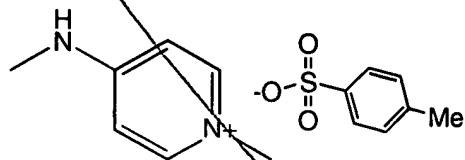
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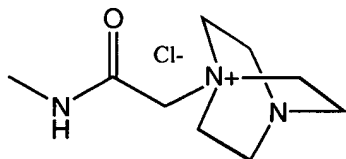




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and



89. A compound of claim 84 wherein:

j is 2;

R<sup>1A</sup> and R<sup>1B</sup> are independently selected from hydrogen and alkyl; and

R<sup>2A</sup> and R<sup>2B</sup> are independently selected from hydrogen and alkyl.

90. A compound of claim 84 wherein:

j is 2;

R<sup>1A</sup> and R<sup>1B</sup> are hydrogen; and

R<sup>2A</sup> and R<sup>2B</sup> are independently selected from alkyl.

91. A compound of claim 84 wherein:

j is 2;

R<sup>1A</sup> and R<sup>1B</sup> are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl.

92. A compound of claim 84 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is  $R^5$ ; and

$R^4$  is selected from hydrogen and alkyl.

93. A compound of claim 84 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is selected from from hydrogen and alkyl; and

$R^4$  is  $R^5$ .

94. A compound of claim 84 wherein j is 1 or 2.

95. A compound of claim 84 wherein j is 2.

96. A compound of claim 84 wherein  $R^{1A}$  and  $R^{1B}$  are hydrogen.

97. A compound of claim 84 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.

98. A compound of claim 84 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.

99. A compound of claim 84 wherein  $R^{2A}$  and  $R^{2B}$  are the same alkyl.

100. A compound of claim 84 wherein  $R^{2A}$  and  $R^{2B}$  are each n-butyl.

101. A compound of claim 84 wherein one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl.

102. A compound of claim 84 wherein one or more  $R^6$  are independently selected from methoxy and dimethylamino.

103. A compound of claim 84 wherein

j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

104. A compound of claim 84 wherein

j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

105. A compound of claim 88 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are independently selected from hydrogen and alkyl; and

$R^{2A}$  and  $R^{2B}$  are independently selected from hydrogen and alkyl.

106. A compound of claim 88 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from alkyl.

107. A compound of claim 88 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen; and

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl.

108. A compound of claim 88 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is  $R^5$ ; and

$R^4$  is selected from hydrogen and alkyl.

109. A compound of claim 88 wherein:

j is 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

$R^{2A}$  and  $R^{2B}$  are independently selected from ethyl, propyl and butyl;

$R^3$  is selected from from hydrogen and alkyl; and

$R^4$  is  $R^5$ .

110. A compound of claim 88 wherein j is 1 or 2.

111. A compound of claim 88 wherein  $j$  is 2.
112. A compound of claim 88 wherein  $R^{1A}$  and  $R^{1B}$  are hydrogen.
113. A compound of claim 88 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.
114. A compound of claim 88 wherein  $R^{2A}$  and  $R^{2B}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.
115. A compound of claim 88 wherein  $R^{2A}$  and  $R^{2B}$  are the same alkyl.
116. A compound of claim 88 wherein  $R^{2A}$  and  $R^{2B}$  are each n-butyl.
117. A compound of claim 88 wherein one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl.
118. A compound of claim 88 wherein one or more  $R^6$  are independently selected from methoxy and dimethylamino.
119. A compound of claim 88 wherein  
 $j$  is 1 or 2;  
 $R^{1A}$  and  $R^{1B}$  are hydrogen;  
 $R^{2A}$  and  $R^{2B}$  are n-butyl; and  
one or more  $R^6$  are independently selected from methoxy and dimethylamino.
120. A compound of claim 88 wherein

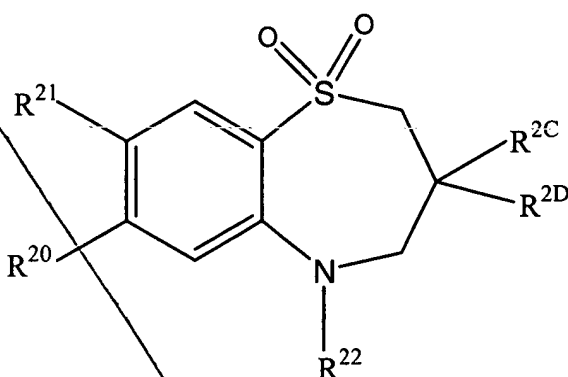
j is 1 or 2;

$R^{1A}$  and  $R^{1B}$  are hydrogen;

one of  $R^{2A}$  and  $R^{2B}$  is ethyl and the other of  $R^{2A}$  and  $R^{2B}$  is n-butyl; and

one or more  $R^6$  are independently selected from methoxy and dimethylamino.

121. A compound of Formula III:



III

wherein:

$R^{2C}$  and  $R^{2D}$  are independently selected from  $C_{1-6}$  alkyl; and

$R^{20}$  is selected from the group consisting of halogen and  $R^{23}$ ;

$R^{21}$  is selected from the group consisting of hydroxy, alkoxy, and  $R^{23}$ ; and

wherein  $R^{23}$  is aryl substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

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wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^{23}$  aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^{23}$  aryl optionally may have one or more carbons replaced by -O-;  $-NR^7$ -;  $-N^+R^7R^8A^-$ ; -S-; -SO-;  $-SO_2$ -;  $-S^+R^7A^-$ ;  $-PR^7$ -;  $-P(O)R^7$ -;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $R^9$ ,  $R^{10}$ , and  $R^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

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$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and



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wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9-$ ;  $-P^+R^9R^{10}A^-$ ;  $-P(O)R^9-$ ; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of  $R^9$  and M; and

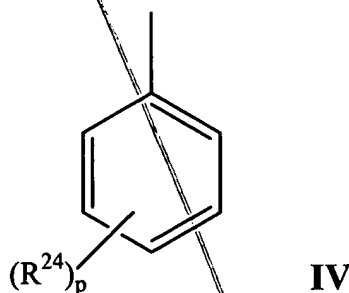
wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

$R^{22}$  is unsubstituted phenyl or  $R^{23}$ ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of  $R^{20}$ ,  $R^{21}$  and  $R^{22}$  is  $R^{23}$ .

122. A compound of Claim 121 wherein  $R^{23}$  is:



wherein

p is 0, 1, 2, 3 or 4; and

one or more  $R^{24}$  are independently selected from the group consisting of

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halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the R<sup>24</sup> alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the R<sup>24</sup> alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl;

alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocycl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocycl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl;

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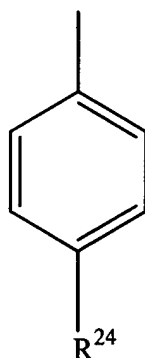
alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A<sup>-</sup> is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

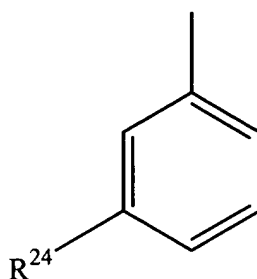
123. A compound of claim 122 wherein R<sup>23</sup> is:



**IV A**

wherein  $R^{24}$  is as defined in Claim 122.

124. A compound of claim 122 wherein  $R^{23}$  is:



**IV B**

wherein  $R^{24}$  is as defined in Claim 122.

125. A compound of claim 122 wherein:

$R^{24}$  is independently selected from the group consisting of  $-OR^{13}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}C(O)R^{14}$ ,  $-OC(O)NR^{13}R^{14}$ , and  $-NR^{13}SO_2R^{14}$ , and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or  $N^+R^9R^{10}A^-$ , and

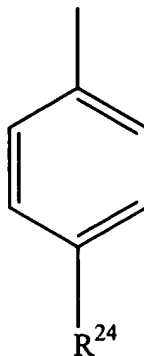
wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl,  $-SR^9$ ,  $-S(O)R^9$ ,  $-S(O)_2R^9$ ,  $-S(O)_3R^9$ ,  $-NR^9R^{10}$ ,  $-N^+R^9R^{11}R^{12}A^-$ ,  $-CONR^9R^{10}$ , and  $-PO(OR^{16})OR^{17}$ , and

wherein  $R^9$  and  $R^{10}$  are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

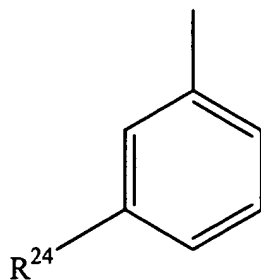
126. A compound of claim 125 wherein  $R^{23}$  is:



**IVA**

wherein  $R^{24}$  is as defined in Claim 125.

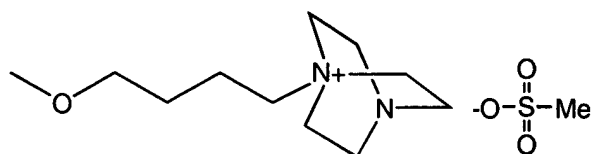
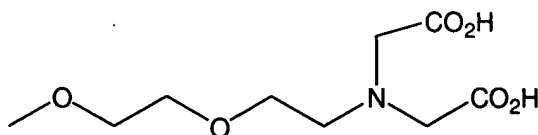
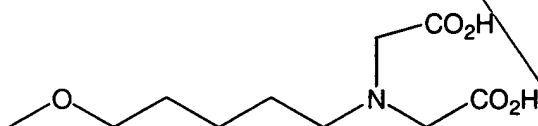
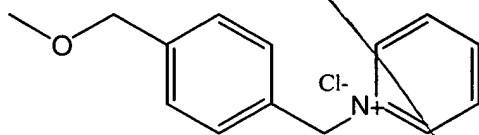
127. A compound of claim 125 wherein  $R^{23}$  is:



IVB

wherein  $R^{24}$  is as defined in Claim 125.

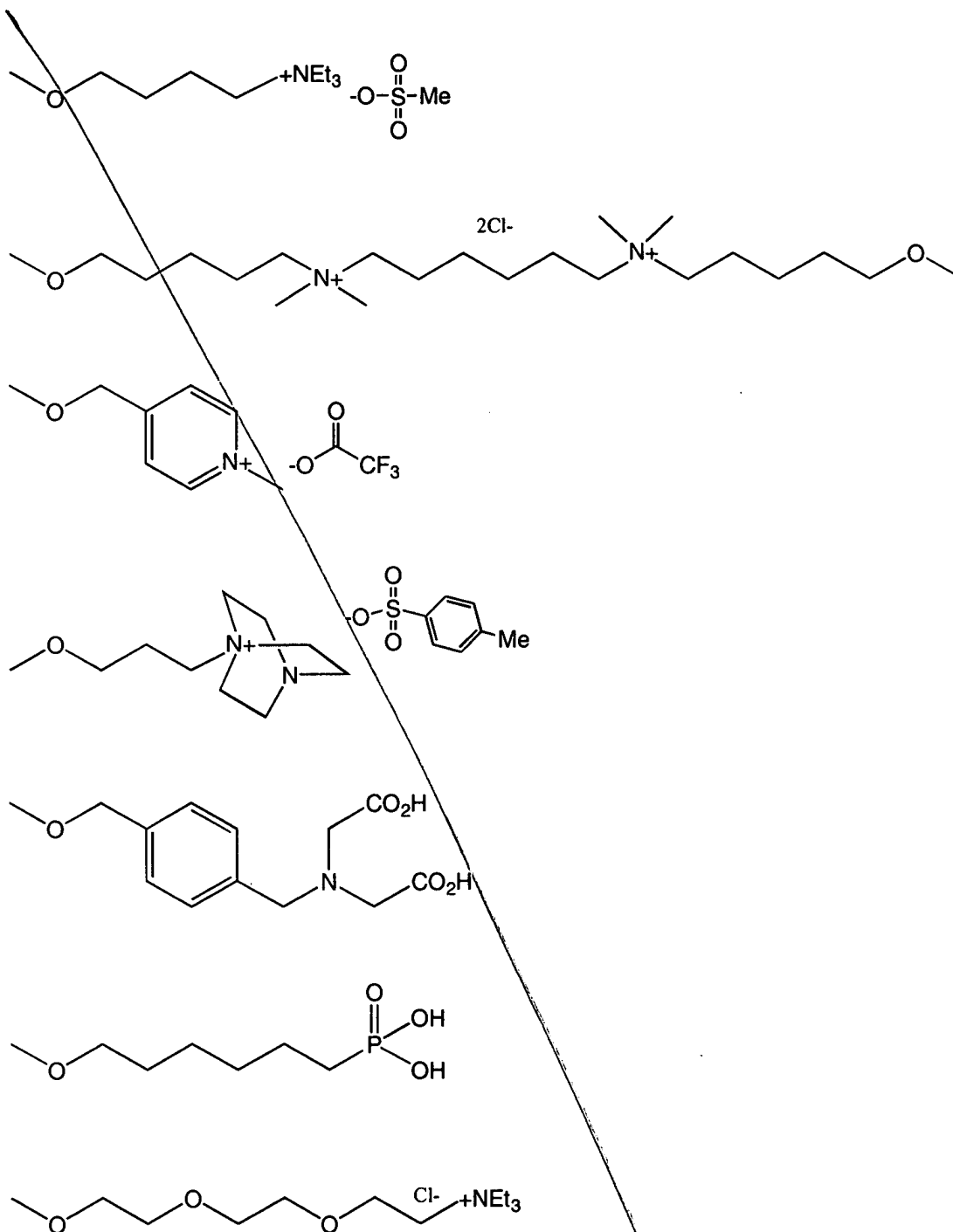
128. A compound of claim 125 wherein  $R^{24}$  is selected from the group consisting of:



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AT2

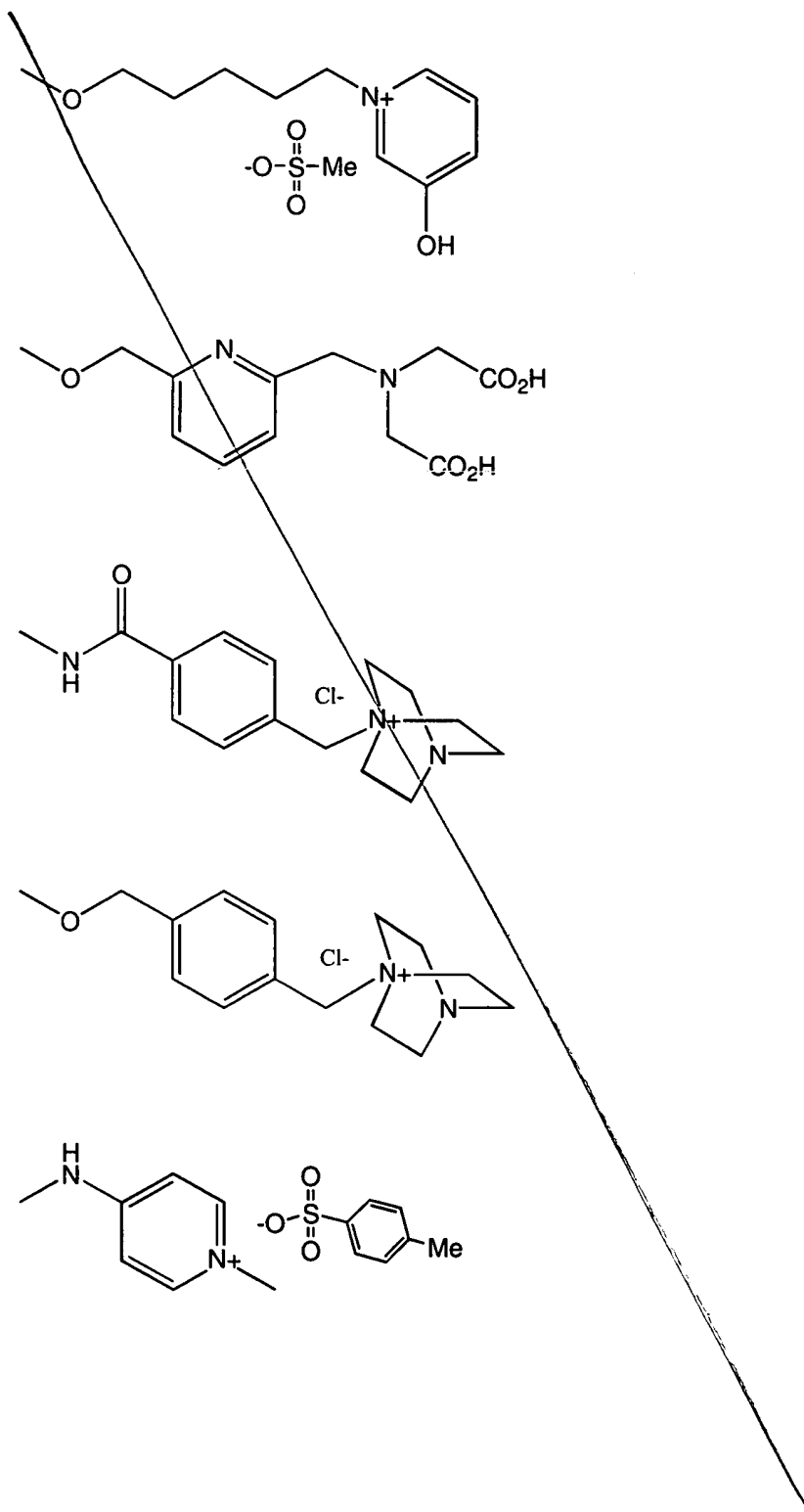
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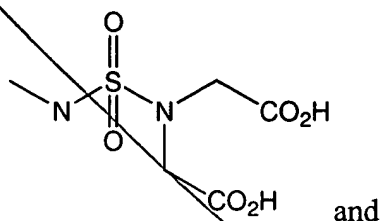


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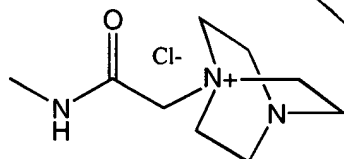
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A12



and



129. A compound of claim 122 wherein:

R<sup>2C</sup> and R<sup>2D</sup> are independently selected from ethyl and n-butyl;

R<sup>20</sup> is chloro; and

R<sup>21</sup> is selected from the group consisting of hydroxy and methoxy.

130. A compound of claim 122 wherein:

R<sup>2C</sup> and R<sup>2D</sup> are n-butyl;

R<sup>20</sup> is chloro; and

R<sup>21</sup> is selected from the group consisting of hydroxy and methoxy.

131. A compound of claim 122 wherein:

one of R<sup>2C</sup> and R<sup>2D</sup> is ethyl and the other of R<sup>2C</sup> and R<sup>2D</sup> is n-butyl;

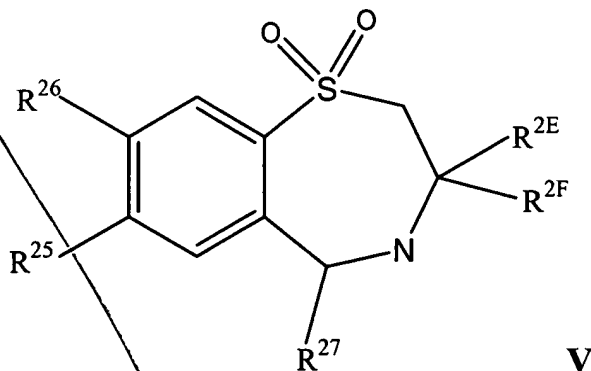
R<sup>20</sup> is chloro; and

R<sup>21</sup> is selected from the group consisting of hydroxy and methoxy.

132. A compound of claim 122 wherein R<sup>2C</sup> and R<sup>2D</sup> are the same alkyl.

133. A compound of claim 122 wherein  $R^{2C}$  and  $R^{2D}$  are each n-butyl.
134. A compound of claim 122 wherein one of  $R^{2C}$  and  $R^{2D}$  is ethyl and the other of  $R^{2C}$  and  $R^{2D}$  is n-butyl.
135. A compound of claim 125 wherein:  
 $R^{2C}$  and  $R^{2D}$  are independently selected from ethyl and n-butyl;  
 $R^{20}$  is chloro; and  
 $R^{21}$  is selected from the group consisting of hydroxy and methoxy.
136. A compound of claim 125 wherein:  
 $R^{2C}$  and  $R^{2D}$  are n-butyl;  
 $R^{20}$  is chloro; and  
 $R^{21}$  is selected from the group consisting of hydroxy and methoxy.
137. A compound of claim 125 wherein:  
one of  $R^{2C}$  and  $R^{2D}$  is ethyl and the other of  $R^{2C}$  and  $R^{2D}$  is n-butyl;  
 $R^{20}$  is chloro; and  
 $R^{21}$  is selected from the group consisting of hydroxy and methoxy.
138. A compound of claim 125 wherein  $R^{2C}$  and  $R^{2D}$  are the same alkyl.
139. A compound of claim 125 wherein  $R^{2C}$  and  $R^{2D}$  are each n-butyl.
140. A compound of claim 125 wherein one of  $R^{2C}$  and  $R^{2D}$  is ethyl and the other of  $R^{2C}$  and  $R^{2D}$  is n-butyl.

141. A compound of Formula V:



wherein:

$R^{2E}$  and  $R^{2F}$  are independently selected from  $C_{1-6}$  alkyl; and

$R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen, alkoxy, and  $R^{28}$ ;

wherein  $R^{28}$  is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^{28}$  aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclyl;  $-OR^7$ ;  $-NR^7R^8$ ;  $-SR^7$ ;  $-S(O)R^7$ ;  $-SO_2R^7$ ;  $-SO_3R^7$ ;  $-CO_2R^7$ ;  $-CONR^7R^8$ ;  $-N^+R^7R^8R^9A^-$ ;  $-P(O)R^7R^8$ ;  $-PR^7R^8$ ;  $-P^+R^7R^8R^9A^-$ ; and  $-P(O)(OR^7)OR^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the  $R^{28}$  aryl optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^7-$ ;  $-N^+R^7R^8A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^7A^-$ ;  $-PR^7-$ ;  $-P(O)R^7-$ ;  $-P^+R^7R^8A^-$ ; or phenylene; and

wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $R^9$ ,  $R^{10}$ , and  $R^W$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $R^{11}$  and  $R^{12}$  are independently selected from the group consisting of hydrogen;  $-CN$ ; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl;

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A<sup>13</sup>

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heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>W<sup>-</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one

or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

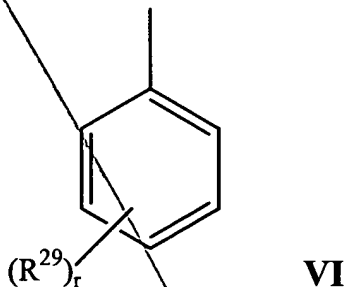
wherein A<sup>-</sup> is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R<sup>27</sup> is unsubstituted phenyl or R<sup>28</sup>; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

provided that at least one of R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup> is R<sup>28</sup>.

142. A compound of Claim 141 wherein R<sup>28</sup> is:



wherein

r is 0, 1, 2, 3 or 4; and

one or more R<sup>29</sup> are independently selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -NR<sup>13</sup>C(O)R<sup>14</sup>; -NR<sup>13</sup>C(O)NR<sup>14</sup>R<sup>15</sup>; -

NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -  
NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -  
P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the R<sup>29</sup> alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the R<sup>29</sup> alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl;



cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-OR^9$ ;  $-NR^9R^{10}$ ;  $-SR^9$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^9$ ;  $-CO_2R^9$ ; and  $-CONR^9R^{10}$ ; or

$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen;  $-CN$ ; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;

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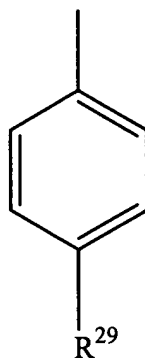
$-\text{CO}_2\text{R}^{16}$ ;  $-\text{CONR}^9\text{R}^{10}$ ;  $-\text{SO}_2\text{NR}^9\text{R}^{10}$ ;  $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$ ;  $-\text{P}^9\text{R}^{10}$ ;  $-\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$ ;  $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$ ; and carbohydrate residue; and

wherein the  $\text{R}^{13}$ ,  $\text{R}^{14}$ , and  $\text{R}^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^9-$ ;  $-\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^9\text{A}^-$ ;  $-\text{PR}^9-$ ;  $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$ ;  $-\text{P}(\text{O})\text{R}^9-$ ; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein  $\text{R}^{16}$  and  $\text{R}^{17}$  are independently selected from the group consisting of  $\text{R}^9$  and M; and

wherein  $\text{A}^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

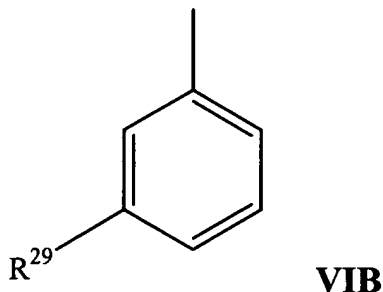
143. A compound of claim 142 wherein  $\text{R}^{28}$  is:



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wherein  $\text{R}^{29}$  is as defined in Claim 142.

144. A compound of claim 142 wherein R<sup>28</sup> is:



wherein R<sup>29</sup> is as defined in Claim 142.

145. A compound of claim 142 wherein:

R<sup>29</sup> is independently selected from the group consisting of -OR<sup>13</sup>, -NR<sup>13</sup>R<sup>14</sup>, -NR<sup>13</sup>C(O)R<sup>14</sup>, -OC(O)NR<sup>13</sup>R<sup>14</sup>, and -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>, and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocycle, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>, and

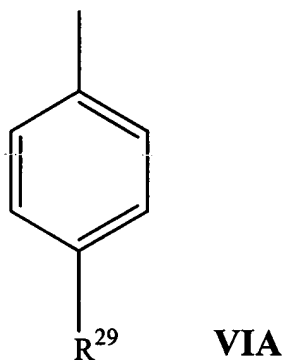
wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, -SR<sup>9</sup>, -S(O)R<sup>9</sup>, -S(O)<sub>2</sub>R<sup>9</sup>, -S(O)<sub>3</sub>R<sup>9</sup>, -NR<sup>9</sup>R<sup>10</sup>, -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>, -CONR<sup>9</sup>R<sup>10</sup>, and -PO(OR<sup>16</sup>)OR<sup>17</sup>, and

wherein R<sup>9</sup> and R<sup>10</sup> are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocycle; and

wherein  $R^{11}$  and  $R^{12}$  are independently alkyl; and

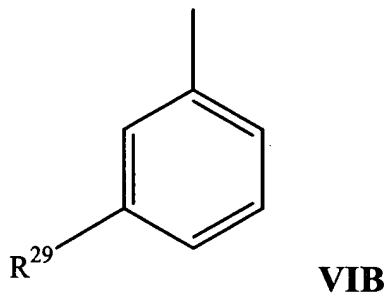
wherein  $A^-$  is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

146. A compound of claim 145 wherein  $R^{28}$  is:



wherein  $R^{29}$  is as defined in Claim 145.

147. A compound of claim 145 wherein  $R^{28}$  is:



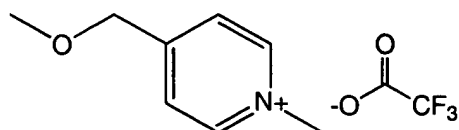
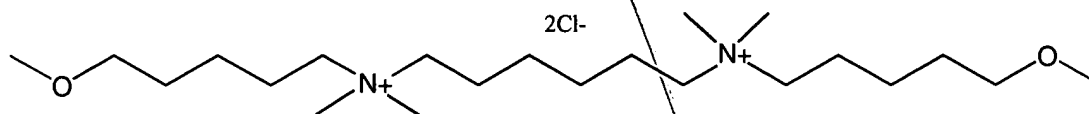
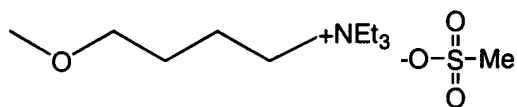
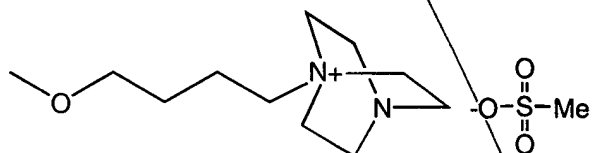
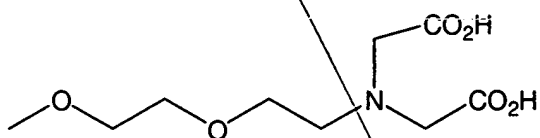
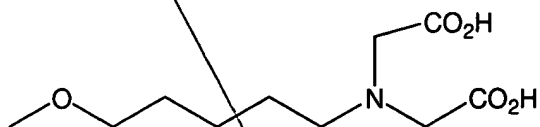
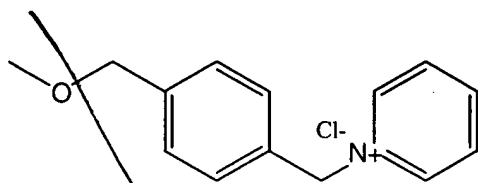
wherein  $R^{29}$  is as defined in Claim 145.

148. A compound of claim 145 wherein  $R^{29}$  is selected from the group consisting of:

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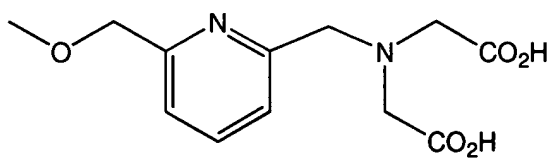
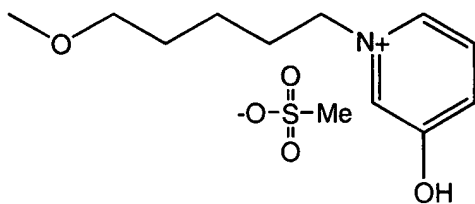
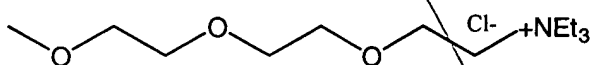
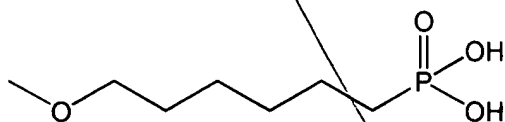
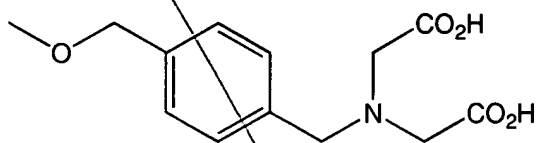
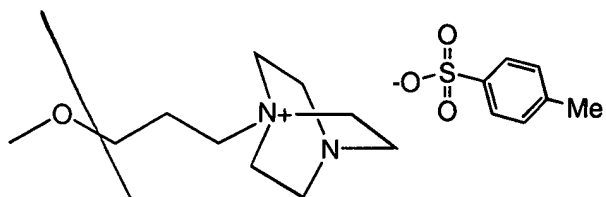
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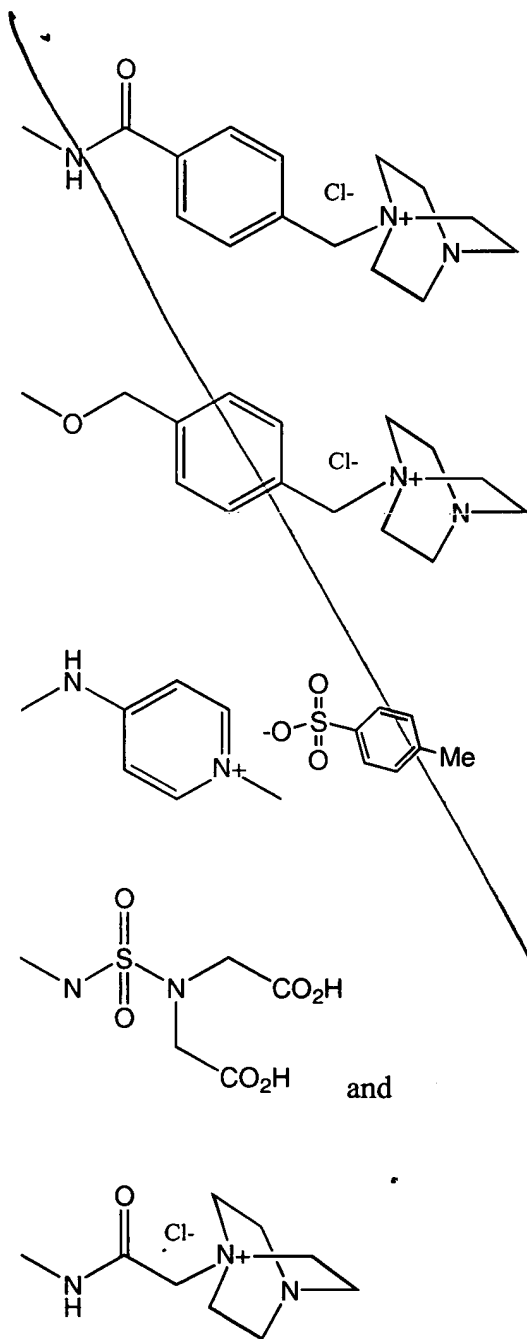
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149. A compound of claim 142 wherein:

$R^{2E}$  and  $R^{2F}$  are independently selected from ethyl and n-butyl; and

$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

150. A compound of claim 142 wherein:

$R^{2E}$  and  $R^{2F}$  are n-butyl; and

$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

151. A compound of claim 142 wherein:

one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl; and

$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

152. A compound of claim 142 wherein  $R^{2E}$  and  $R^{2F}$  are the same alkyl.

153. A compound of claim 142 wherein  $R^{2E}$  and  $R^{2F}$  are each n-butyl.

154. A compound of claim 142 wherein one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl.

155. A compound of claim 145 wherein:

$R^{2E}$  and  $R^{2F}$  are independently selected from ethyl and n-butyl; and

$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

156. A compound of claim 145 wherein:

$R^{2E}$  and  $R^{2F}$  are n-butyl; and

$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

157. A compound of claim 145 wherein:

one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl; and



$R^{25}$  and  $R^{26}$  are independently selected from hydrogen and methoxy.

158. A compound of claim 145 wherein  $R^{2E}$  and  $R^{2F}$  are the same alkyl.

159. A compound of claim 145 wherein  $R^{2E}$  and  $R^{2F}$  are each n-butyl.

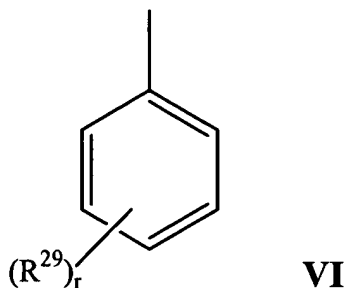
160. A compound of claim 145 wherein one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl.

161. A compound of claim 142 wherein:

one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl;

$R^{25}$  and  $R^{26}$  are hydrogen; and

$R^{27}$  is:



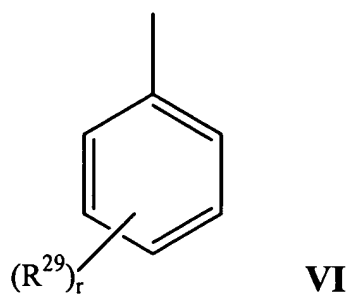
wherein  $r$  is 1 and  $R^{29}$  is as defined in claim 142.

162. A compound of claim 142 wherein:

one of  $R^{2E}$  and  $R^{2F}$  is ethyl and the other of  $R^{2E}$  and  $R^{2F}$  is n-butyl; and

$R^{25}$  and  $R^{26}$  are methoxy; and

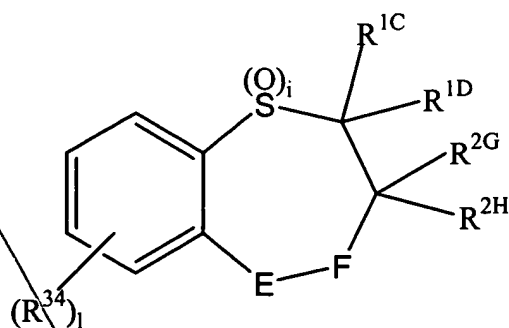
$R^{27}$  is:



wherein  $r$  is 1 and  $R^{29}$  is as defined in claim 142.

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163. A compound of Formula VII:



VII

wherein:

$i$  is 0, 1 or 2; and

$l$  is 0, 1, 2, 3 or 4; and

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

$R^{2G}$  and  $R^{2H}$  together with the carbon atom to which they are attached form a  $C_{3-10}$  cycloalkyl group; and

one of E and F is  $NR^{30}$  and the other of E and F is  $CHR^{31}$ ;

wherein  $R^{30}$  and  $R^{31}$  are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl,  $-OR^9$ , and  $R^{32}$ ;

wherein the  $R^{30}$  and  $R^{31}$  alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;  $-NR^{13}CO_2R^{14}$ ;  $-OC(O)R^{13}$ ;  $-OC(O)NR^{13}R^{14}$ ;  $-NR^{13}SOR^{14}$ ;  $-NR^{13}SO_2R^{14}$ ;  $-NR^{13}SONR^{14}R^{15}$ ;  $-NR^{13}SO_2NR^{14}R^{15}$ ;  $-PR^{13}R^{14}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ; and  $-N^+R^{13}R^{14}R^{15}A^-$ ; and

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wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^{30}$  and  $R^{31}$  radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $R^{30}$  and  $R^{31}$  radicals optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>w</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

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wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

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wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{10}R^{11}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR$

9; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R<sup>32</sup> is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> and wherein:

X is selected from the group consisting of:

-(C=O)<sub>s</sub>-alkyl-;  
-(C=O)<sub>s</sub>-alkyl-NH-;  
-(C=O)<sub>s</sub>-alkyl-O-;  
-(C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and  
a covalent bond;

R<sup>33</sup> is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups;

s and t are independently 0 or 1; and

one or more R<sup>34</sup> radicals are independently selected from the group consisting of R<sup>32</sup>, hydrogen; halogen; -CN; -NO<sub>2</sub>; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)<sub>n</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

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wherein the  $R^{34}$  alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; oxo; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{34}$  quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO<sub>2</sub>; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -SO<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{34}$  radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR<sup>13</sup>-; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>13</sup>A<sup>-</sup>; -PR<sup>13</sup>-; -P(O)R<sup>13</sup>-; -PR<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; or -P(O)R<sup>9</sup>-; and

wherein  $R^{18}$  is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl; and

wherein the  $R^{18}$  alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclylalkoxycarbonyl radicals optionally may be substituted with one or

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more radicals selected from the group consisting of halogen; -CN; NO<sub>2</sub>; oxo; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;  
provided that at least one of R<sup>30</sup>, R<sup>31</sup> and R<sup>34</sup> is R<sup>32</sup>.

164. A compound of Claim 163 wherein R<sup>32</sup> is phenyl substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

- (C=O)<sub>s</sub>-alkyl-;
- (C=O)<sub>s</sub>-alkyl-NH-;
- (C=O)<sub>s</sub>-alkyl-O-;
- (C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and
- a covalent bond;

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

165. A compound of Claim 164 wherein R<sup>32</sup> is phenyl substituted at the para-position with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

- (C=O)<sub>s</sub>-alkyl-;
- (C=O)<sub>s</sub>-alkyl-NH-;
- (C=O)<sub>s</sub>-alkyl-O-;
- (C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and
- a covalent bond; and

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

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166. A compound of Claim 164 wherein  $R^{32}$  is phenyl substituted at the meta-position with  $-N(H)-X-R^{33}$  or  $-O-X-R^{33}$  wherein:

X is selected from the group consisting of:

- $-(C=O)_s\text{-alkyl-}$ ;
- $-(C=O)_s\text{-alkyl-NH-}$ ;
- $-(C=O)_s\text{-alkyl-O-}$ ;
- $-(C=O)_s\text{-alkyl-(C=O)}_t$ ; and
- a covalent bond; and

$R_{33}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1;

167. A compound of claim 164 wherein:

$R^{30}$  is  $R^{32}$ ; and

$R^{31}$  is selected from the group consisting of hydrogen and alkyl.

168. A compound of claim 165 wherein:

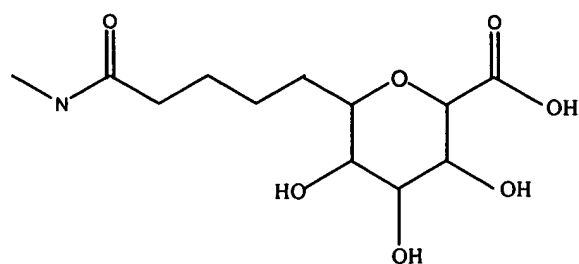
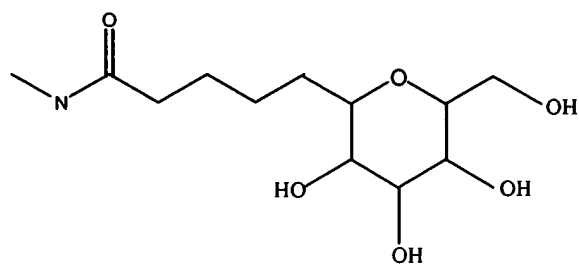
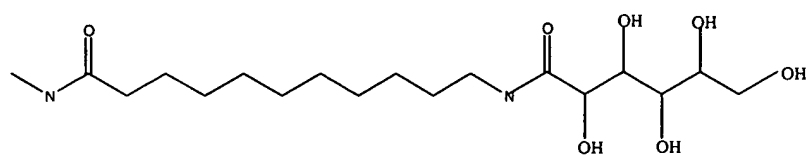
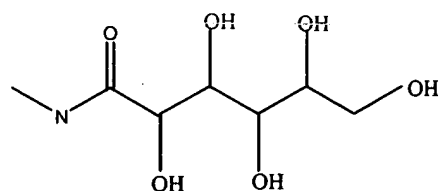
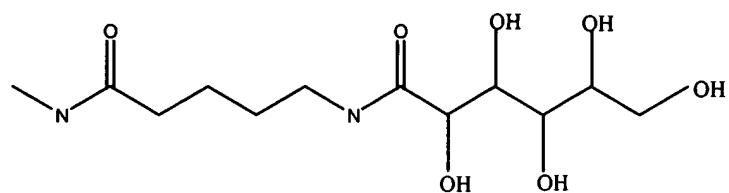
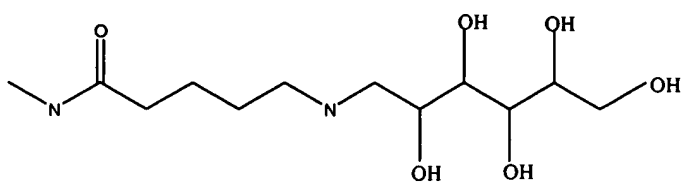
$R^{30}$  is selected from the group consisting of hydrogen and alkyl; and

$R^{31}$  is  $R^{32}$ .

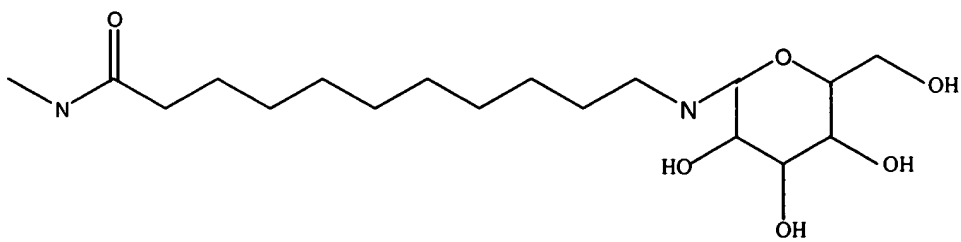
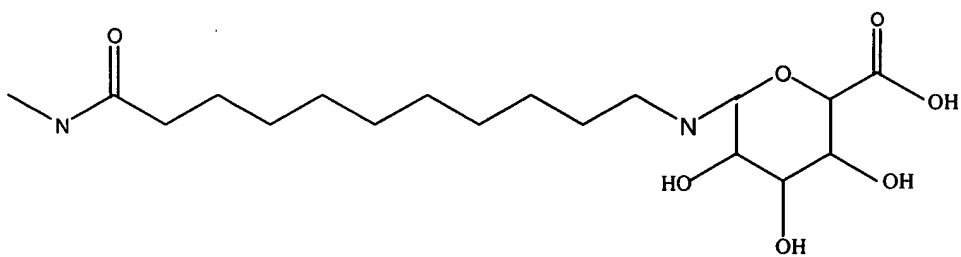
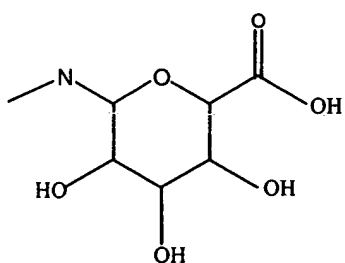
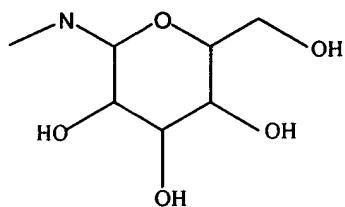
169. A compound of claim 164 wherein  $R^{32}$  is phenyl substituted with a radical selected from the group consisting of:

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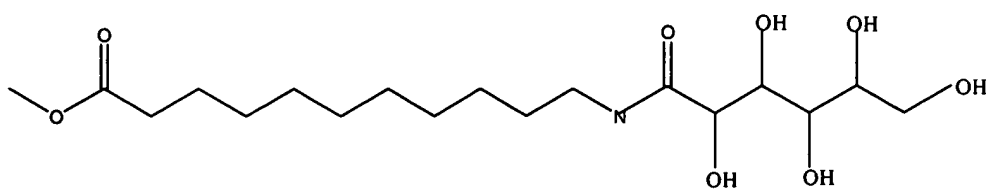
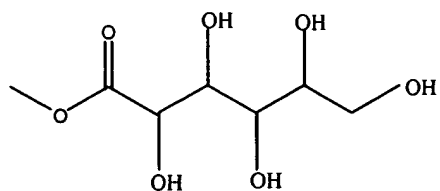
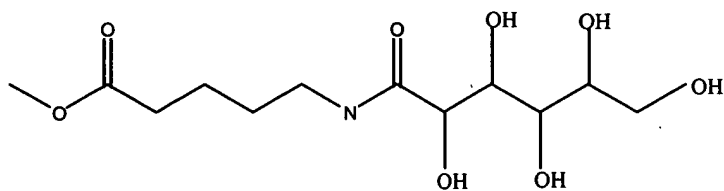
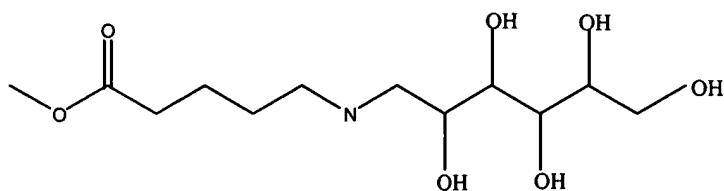
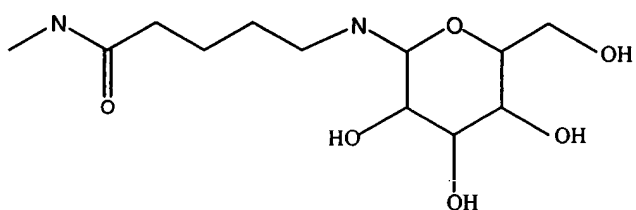
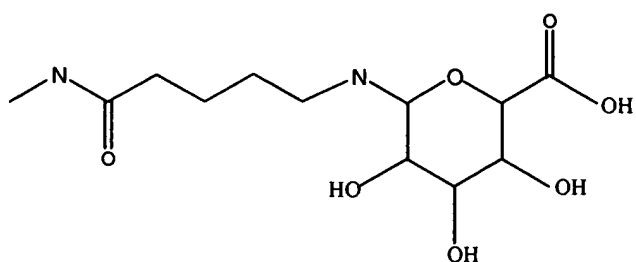
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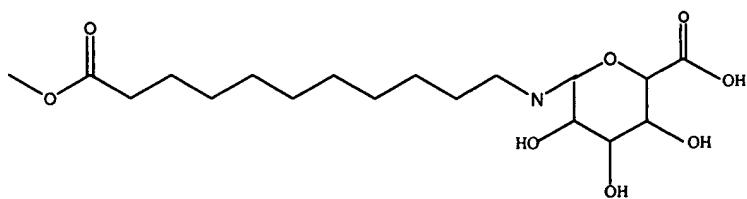
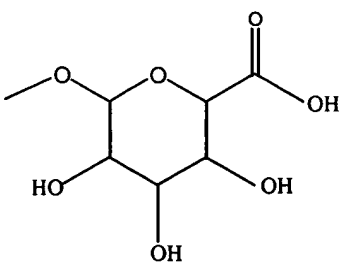
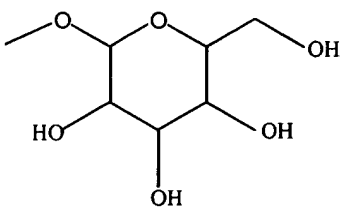
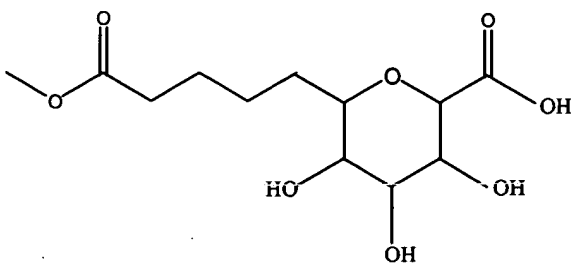
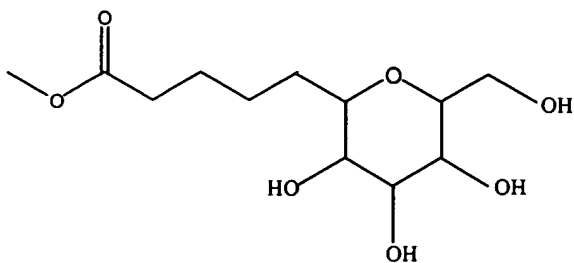
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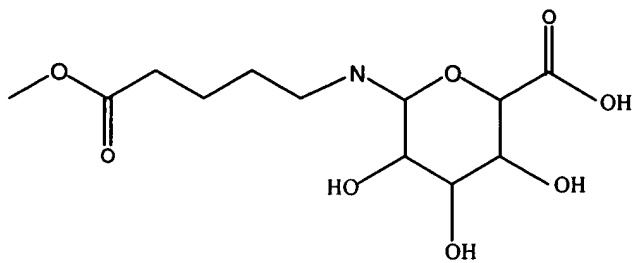
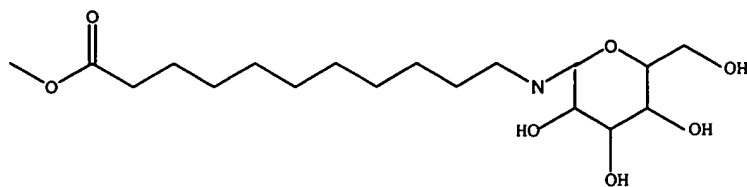


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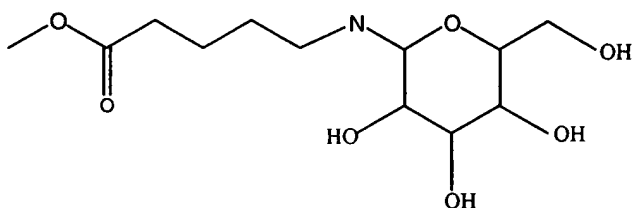


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and



170. A compound of claim 164 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen and alkyl.

171. A compound of claim 164 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen; and

$R^{2G}$  and  $R^{2H}$  are independently selected from alkyl.

172. A compound of claim 164 wherein:

i is 2;

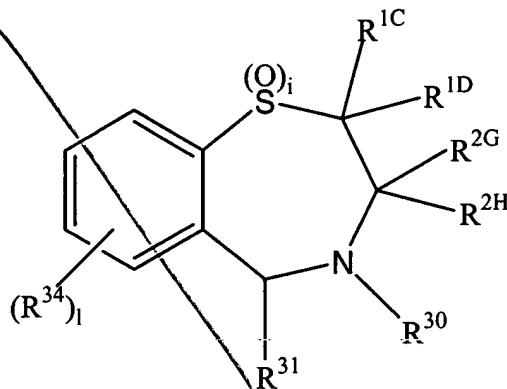
$R^{1C}$  and  $R^{1D}$  are hydrogen; and

$R^{2G}$  and  $R^{2H}$  are independently selected from ethyl, propyl and butyl.

173. A compound of claim 164 wherein i is 1 or 2.
174. A compound of claim 164 wherein i is 2.
175. A compound of claim 164 wherein  $R^{1C}$  and  $R^{1D}$  are hydrogen.
176. A compound of claim 164 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.
177. A compound of claim 164 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.
178. A compound of claim 164 wherein  $R^{2G}$  and  $R^{2H}$  are the same alkyl.
179. A compound of claim 164 wherein  $R^{2G}$  and  $R^{2H}$  are each n-butyl.
180. A compound of claim 164 wherein one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl.
181. A compound of claim 164 wherein one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
182. A compound of claim 164 wherein  
i is 1 or 2;  
 $R^{1C}$  and  $R^{1D}$  are hydrogen;  
 $R^{2G}$  and  $R^{2H}$  are n-butyl; and  
one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
183. A compound of claim 164 wherein  
i is 1 or 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen;  
 one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl; and  
 one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.

184. A compound of claim 163 corresponding to Formula VIIA:



VIIA

wherein:

$i$  is 0, 1 or 2; and

$l$  is 0, 1, 2, 3 or 4; and

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

$R^{2G}$  and  $R^{2H}$  together with the carbon atom to which they are attached form a  $C_{3-7}$  cycloalkyl group; and

$R^{30}$  and  $R^{31}$  are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl,  $-OR^9$ , and  $R^{32}$ ;

wherein the  $R^{30}$  and  $R^{31}$  alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ;



$\text{NR}^{13}\text{CO}_2\text{R}^{14}$ ;  $-\text{OC}(\text{O})\text{R}^{13}$ ;  $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SOR}^{14}$ ;  $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$ ;  $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$ ;  $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$ ;  $-\text{PR}^{13}\text{R}^{14}$ ;  $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$ ;  $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ;  $-\text{P}(\text{OR}^{13})\text{OR}^{14}$ ;  $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$ ; and  $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $\text{R}^{30}$  and  $\text{R}^{31}$  radicals optionally may be further substituted with one or more radicals selected from the group consisting of  $-\text{CN}$ ; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl;  $-\text{OR}^7$ ;  $-\text{NR}^7\text{R}^8$ ;  $-\text{SR}^7$ ;  $-\text{S}(\text{O})\text{R}^7$ ;  $-\text{SO}_2\text{R}^7$ ;  $-\text{SO}_3\text{R}^7$ ;  $-\text{CO}_2\text{R}^7$ ;  $-\text{CONR}^7\text{R}^8$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ;  $-\text{P}(\text{O})\text{R}^7\text{R}^8$ ;  $-\text{PR}^7\text{R}^8$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$ ; and  $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ ; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the  $\text{R}^{30}$  and  $\text{R}^{31}$  radicals optionally may have one or more carbons replaced by  $-\text{O}-$ ;  $-\text{NR}^7-$ ;  $-\text{N}^+\text{R}^7\text{R}^8\text{A}^-$ ;  $-\text{S}-$ ;  $-\text{SO}-$ ;  $-\text{SO}_2-$ ;  $-\text{S}^+\text{R}^7\text{A}^-$ ;  $-\text{PR}^7-$ ;  $-\text{P}(\text{O})\text{R}^7-$ ;  $-\text{P}^+\text{R}^7\text{R}^8\text{A}^-$ ; or phenylene; and

wherein  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen; and alkyl; and

wherein  $\text{R}^9$ ,  $\text{R}^{10}$ , and  $\text{R}^w$  are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein  $\text{R}^{11}$  and  $\text{R}^{12}$  are independently selected from the group consisting of hydrogen;  $-\text{CN}$ ; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl;  $-\text{OR}^9$ ;  $-\text{NR}^9\text{R}^{10}$ ;  $-\text{SR}^9$ ;  $-\text{S}(\text{O})\text{R}^9$ ;  $-\text{SO}_2\text{R}^9$ ;  $-\text{SO}_3\text{R}^9$ ;  $-\text{CO}_2\text{R}^9$ ; and  $-\text{CONR}^9\text{R}^{10}$ ; or

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R<sup>11</sup> and R<sup>12</sup> together with the carbon atom to which they are attached form a cyclic ring; and

wherein R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R<sup>13</sup> and R<sup>14</sup> together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein R<sup>14</sup> and R<sup>15</sup> together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the R<sup>13</sup>, R<sup>14</sup>, and R<sup>15</sup> alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;

carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R<sup>32</sup> is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> and wherein:

X is selected from the group consisting of:

-(C=O)<sub>s</sub>-alkyl-;  
-(C=O)<sub>s</sub>-alkyl-NH-;  
-(C=O)<sub>s</sub>-alkyl-O-;  
-(C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and  
a covalent bond; and

R<sup>33</sup> is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R<sup>34</sup> radicals are independently selected from the group consisting of R<sup>32</sup>, hydrogen; halogen; -CN; -NO<sub>2</sub>; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)<sub>n</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>

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$R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the  $R^{34}$  alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen;  $-CN$ ; oxo;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{11}R^{12}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{34}$  quaternary heterocyclalkyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; arylalkyl; heterocyclalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ; OM;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ;  $-N^+R^{13}R^{14}R^{15}A^-$ ; and carbohydrate residue; and

wherein the  $R^{34}$  radicals comprising carbon optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^{13}-$ ;  $-N^+R^{13}R^{14}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^{13}A^-$ ;  $-PR^{13}-$ ;  $-P(O)R^{13}-$ ;  $-PR^{13}R^{14}$ ;  $-P^+R^{13}R^{14}A^-$ ; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9-$ ;  $-P^+R^9R^{10}A^-$ ; or  $-P(O)R^9-$ ; and

wherein  $R^{18}$  is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

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wherein the R<sup>18</sup> alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO<sub>2</sub>; oxo; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;  
provided that at least one of R<sup>30</sup>, R<sup>31</sup> and R<sup>34</sup> is R<sup>32</sup>.

185. A compound of Claim 184 wherein R<sup>32</sup> is phenyl substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

-(C=O)<sub>s</sub>-alkyl-;  
-(C=O)<sub>s</sub>-alkyl-NH-;  
-(C=O)<sub>s</sub>-alkyl-O-;  
-(C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and  
a covalent bond; and

R<sub>33</sub> is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

186. A compound of Claim 185 wherein R<sup>32</sup> is phenyl substituted at the para-position with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

-(C=O)<sub>s</sub>-alkyl-;  
-(C=O)<sub>s</sub>-alkyl-NH-;  
-(C=O)<sub>s</sub>-alkyl-O-;  
-(C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and  
a covalent bond; and

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and  
s and t are independently 0 or 1.

187. A compound of Claim 185 wherein R<sup>32</sup> is phenyl substituted at the meta-position with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

-(C=O)<sub>s</sub>-alkyl-;  
-(C=O)<sub>s</sub>-alkyl-NH-;  
-(C=O)<sub>s</sub>-alkyl-O-;  
-(C=O)<sub>s</sub>-alkyl-(C=O); and  
a covalent bond; and

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and  
s and t are independently 0 or 1.

188. A compound of claim 185 wherein:

R<sup>30</sup> is R<sup>32</sup>; and

R<sup>31</sup> is selected from the group consisting of hydrogen and alkyl.

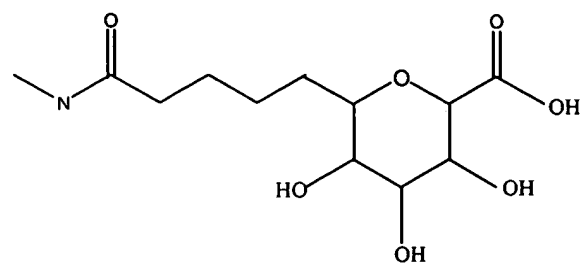
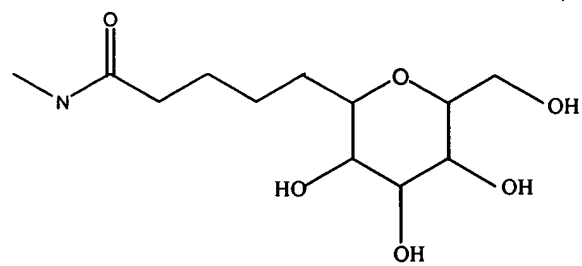
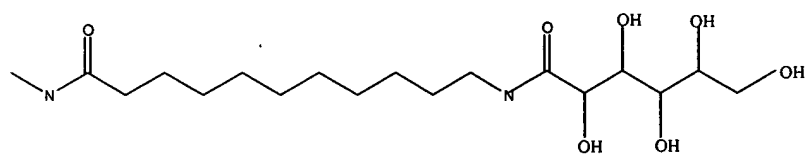
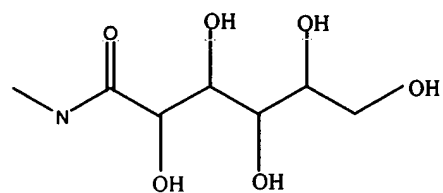
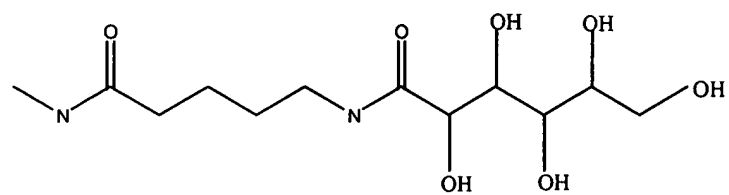
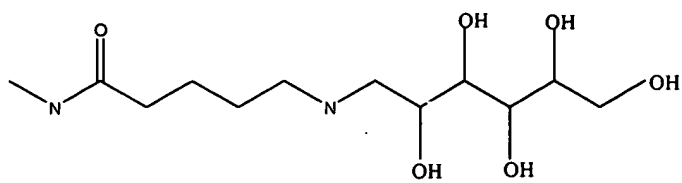
189. A compound of claim 185 wherein:

R<sup>30</sup> is selected from the group consisting of hydrogen and alkyl; and

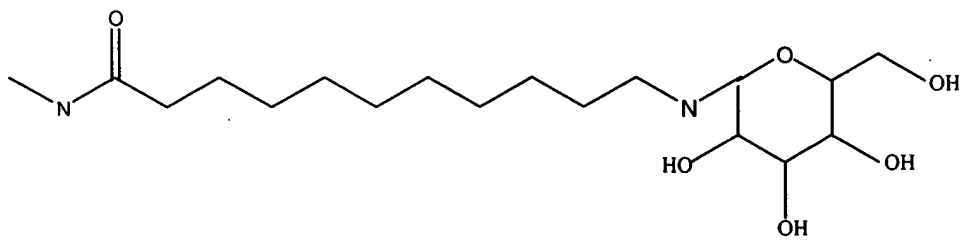
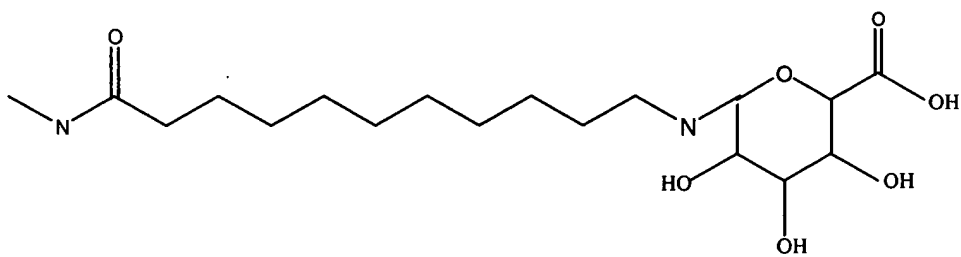
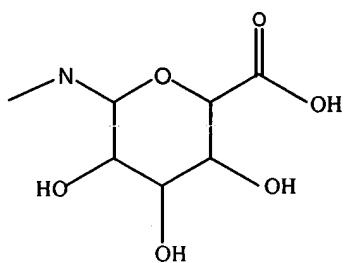
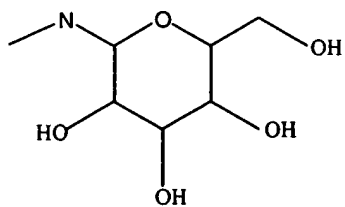
R<sup>31</sup> is R<sup>32</sup>.

190. A compound of claim 185 wherein R<sup>32</sup> is phenyl substituted with a radical selected from the group consisting of:

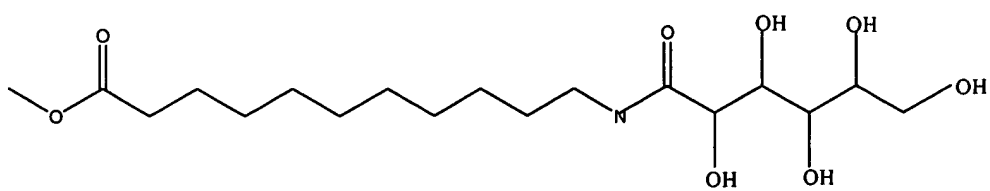
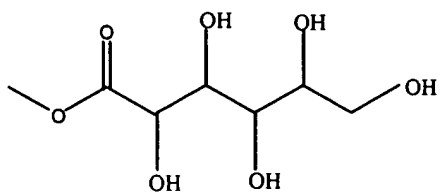
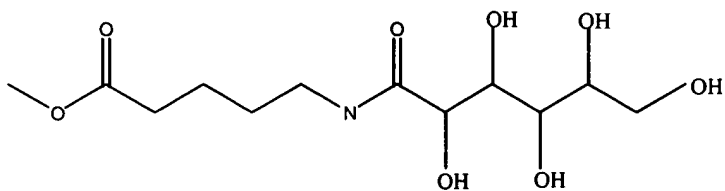
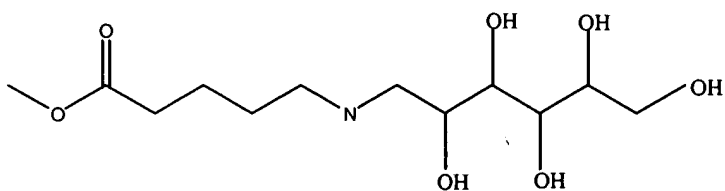
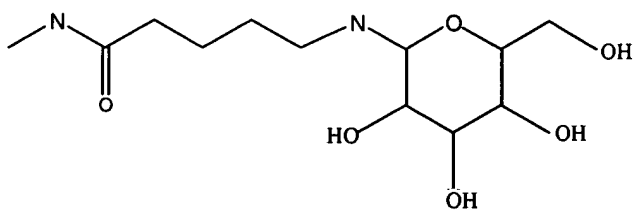
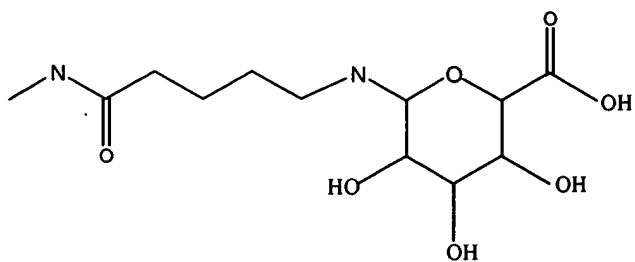
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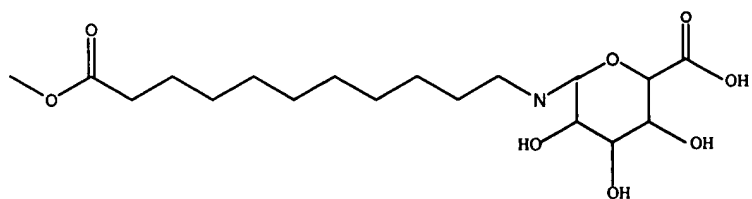
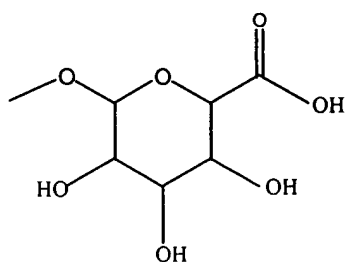
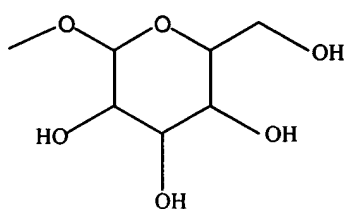
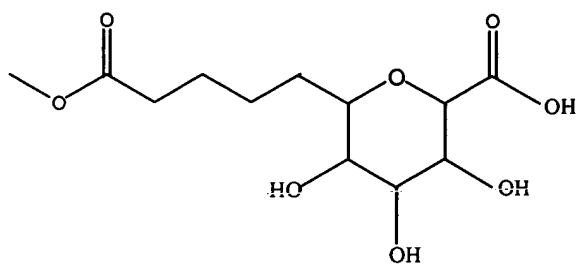
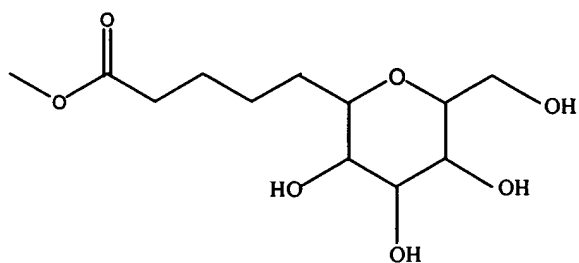
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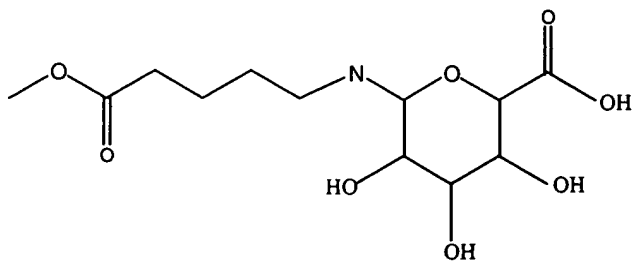
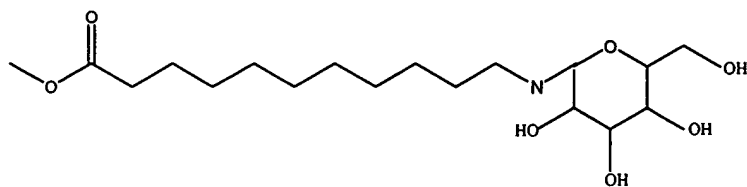




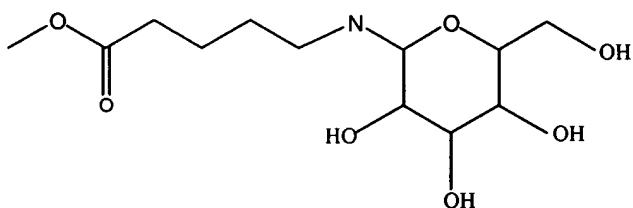


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and



191. A compound of claim 185 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen and alkyl.

192. A compound of claim 185 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen; and

$R^{2G}$  and  $R^{2H}$  are independently selected from alkyl.

193. A compound of claim 185 wherein:

i is 2;

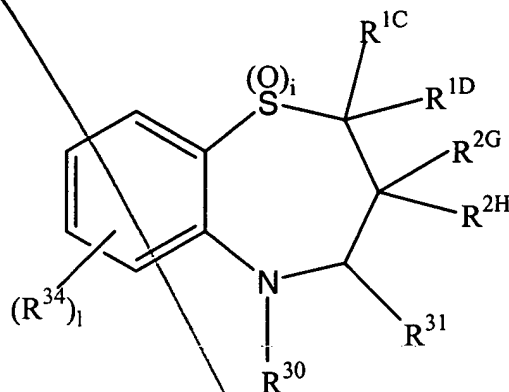
$R^{1C}$  and  $R^{1D}$  are hydrogen; and

$R^{2G}$  and  $R^{2H}$  are independently selected from ethyl, propyl and butyl.

194. A compound of claim 185 wherein  $i$  is 1 or 2.
195. A compound of claim 185 wherein  $i$  is 2.
196. A compound of claim 185 wherein  $R^{1C}$  and  $R^{1D}$  are hydrogen.
197. A compound of claim 185 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.
198. A compound of claim 185 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.
199. A compound of claim 185 wherein  $R^{2G}$  and  $R^{2H}$  are the same alkyl.
200. A compound of claim 185 wherein  $R^{2G}$  and  $R^{2H}$  are each n-butyl.
201. A compound of claim 185 wherein one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl.
202. A compound of claim 185 wherein one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
203. A compound of claim 185 wherein  
 $i$  is 1 or 2;  
 $R^{1C}$  and  $R^{1D}$  are hydrogen;  
 $R^{2G}$  and  $R^{2H}$  are n-butyl; and  
one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
204. A compound of claim 185 wherein  
 $i$  is 1 or 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen;  
 one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl; and  
 one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.

205. A compound of claim 163 corresponding to Formula VIIB:



VIIB

wherein:

$i$  is 0, 1 or 2; and

$l$  is 0, 1, 2, 3 or 4; and

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

$R^{2G}$  and  $R^{2H}$  together with the carbon atom to which they are attached form a  $C_{3-7}$  cycloalkyl group; and

$R^{30}$  and  $R^{31}$  are independently selected from the group consisting of hydrogen; oxo; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl,  $-OR^9$ , and  $R^{32}$ ;

wherein the  $R^{30}$  and  $R^{31}$  alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ;  $-OM$ ;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-NR^{13}C(O)R^{14}$ ;  $-NR^{13}C(O)NR^{14}R^{15}$ ; -

NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>; -OC(O)R<sup>13</sup>; -OC(O)NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>SOR<sup>14</sup>; -NR<sup>13</sup>SO<sub>2</sub>R<sup>14</sup>; -  
NR<sup>13</sup>SONR<sup>14</sup>R<sup>15</sup>; -NR<sup>13</sup>SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>R<sup>14</sup>; -P<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -  
P(OR<sup>13</sup>)OR<sup>14</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; and -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R<sup>30</sup> and R<sup>31</sup> radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; oxo; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR<sup>7</sup>; -NR<sup>7</sup>R<sup>8</sup>; -SR<sup>7</sup>; -S(O)R<sup>7</sup>; -SO<sub>2</sub>R<sup>7</sup>; -SO<sub>3</sub>R<sup>7</sup>; -CO<sub>2</sub>R<sup>7</sup>; -CONR<sup>7</sup>R<sup>8</sup>; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; -P(O)R<sup>7</sup>R<sup>8</sup>; -PR<sup>7</sup>R<sup>8</sup>; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>R<sup>9</sup>A<sup>-</sup>; and -P(O)(OR<sup>7</sup>)OR<sup>8</sup>; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R<sup>30</sup> and R<sup>31</sup> radicals optionally may have one or more carbons replaced by -O-; -NR<sup>7</sup>-; -N<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>7</sup>A<sup>-</sup>; -PR<sup>7</sup>-; -P(O)R<sup>7</sup>-; -P<sup>+</sup>R<sup>7</sup>R<sup>8</sup>A<sup>-</sup>; or phenylene; and

wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R<sup>9</sup>, R<sup>10</sup>, and R<sup>W</sup> are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrogen; -CN; halogen; oxo; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; and -CONR<sup>9</sup>R<sup>10</sup>; or

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$R^{11}$  and  $R^{12}$  together with the carbon atom to which they are attached form a cyclic ring; and

wherein  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein  $R^{13}$  and  $R^{14}$  together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy, and quaternary salts; or

wherein  $R^{14}$  and  $R^{15}$  together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; sulfo; oxo; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR<sup>16</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>w</sup>A<sup>-</sup>; -SR<sup>16</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>16</sup>; -CO<sub>2</sub>R<sup>16</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; -P<sup>9</sup>R<sup>10</sup>; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>R<sup>11</sup>A<sup>-</sup>; -S<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; and carbohydrate residue; and

wherein the  $R^{13}$ ,  $R^{14}$ , and  $R^{15}$  alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;

carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR<sup>9</sup>-; -N<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -S-; -SO-; -SO<sub>2</sub>-; -S<sup>+</sup>R<sup>9</sup>A<sup>-</sup>; -PR<sup>9</sup>-; -P<sup>+</sup>R<sup>9</sup>R<sup>10</sup>A<sup>-</sup>; -P(O)R<sup>9</sup>-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of R<sup>9</sup> and M; and

wherein A is a pharmaceutically acceptable cation and M is a pharmaceutically acceptable cation; and

R<sup>32</sup> is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> and wherein:

X is selected from the group consisting of:

- (C=O)<sub>s</sub>-alkyl-;
- (C=O)<sub>s</sub>-alkyl-NH-;
- (C=O)<sub>s</sub>-alkyl-O-;
- (C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and
- a covalent bond; and

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R<sup>34</sup> radicals are independently selected from the group consisting of R<sup>32</sup>, hydrogen; halogen; -CN; -NO<sub>2</sub>; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR<sup>13</sup>; -NR<sup>13</sup>R<sup>14</sup>; -SR<sup>13</sup>; -S(O)R<sup>13</sup>; -S(O)<sub>2</sub>R<sup>13</sup>; -SO<sub>3</sub>R<sup>13</sup>; -S<sup>+</sup>R<sup>13</sup>R<sup>14</sup>A<sup>-</sup>; -NR<sup>13</sup>OR<sup>14</sup>; -NR<sup>13</sup>NR<sup>14</sup>R<sup>15</sup>; -CO<sub>2</sub>R<sup>13</sup>; -OM; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>14</sup>C(O)R<sup>13</sup>; -C(O)NR<sup>13</sup>R<sup>14</sup>; -C(O)OM; -COR<sup>13</sup>; -OR<sup>18</sup>; -S(O)<sub>n</sub>NR<sup>13</sup>R<sup>14</sup>; -NR<sup>13</sup>R<sup>18</sup>; -NR<sup>18</sup>OR<sup>14</sup>; -N<sup>+</sup>R<sup>13</sup>R<sup>14</sup>R<sup>15</sup>A<sup>-</sup>; -PR<sup>13</sup>R<sup>14</sup>; -P(O)R<sup>13</sup>



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$R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the  $R^{34}$  alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen;  $-CN$ ; oxo;  $-OR^{16}$ ;  $-NR^9R^{10}$ ;  $-N^+R^9R^{10}R^wA^-$ ;  $-SR^{16}$ ;  $-S(O)R^9$ ;  $-SO_2R^9$ ;  $-SO_3R^{16}$ ;  $-CO_2R^{16}$ ;  $-CONR^9R^{10}$ ;  $-SO_2NR^9R^{10}$ ;  $-PO(OR^{16})OR^{17}$ ;  $-P^9R^{10}$ ;  $-P^+R^9R^{11}R^{12}A^-$ ;  $-S^+R^9R^{10}A^-$ ; and carbohydrate residue; and

wherein the  $R^{34}$  quaternary heterocyclalkyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen;  $-CN$ ;  $-NO_2$ ; oxo; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; arylalkyl; heterocyclalkyl; polyether;  $-OR^{13}$ ;  $-NR^{13}R^{14}$ ;  $-SR^{13}$ ;  $-S(O)R^{13}$ ;  $-SO_2R^{13}$ ;  $-SO_3R^{13}$ ;  $-NR^{13}OR^{14}$ ;  $-NR^{13}NR^{14}R^{15}$ ;  $-CO_2R^{13}$ ; OM;  $-SO_2OM$ ;  $-SO_2NR^{13}R^{14}$ ;  $-C(O)NR^{13}R^{14}$ ;  $-C(O)OM$ ;  $-COR^{13}$ ;  $-P(O)R^{13}R^{14}$ ;  $-P^{13}R^{14}$ ;  $-P^+R^{13}R^{14}R^{15}A^-$ ;  $-P(OR^{13})OR^{14}$ ;  $-S^+R^{13}R^{14}A^-$ ;  $-N^+R^{13}R^{14}R^{15}A^-$ ; and carbohydrate residue; and

wherein the  $R^{34}$  radicals comprising carbon optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^{13}-$ ;  $-N^+R^{13}R^{14}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^{13}A^-$ ;  $-PR^{13}-$ ;  $-P(O)R^{13}-$ ;  $-PR^{13}R^{14}$ ;  $-P^+R^{13}R^{14}A^-$ ; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by  $-O-$ ;  $-NR^9-$ ;  $-N^+R^9R^{10}A^-$ ;  $-S-$ ;  $-SO-$ ;  $-SO_2-$ ;  $-S^+R^9A^-$ ;  $-PR^9-$ ;  $-P^+R^9R^{10}A^-$ ; or  $-P(O)R^9$ ; and

wherein  $R^{18}$  is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

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wherein the R<sup>18</sup> alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO<sub>2</sub>; oxo; -OR<sup>9</sup>; -NR<sup>9</sup>R<sup>10</sup>; -N<sup>+</sup>R<sup>9</sup>R<sup>11</sup>R<sup>12</sup>A<sup>-</sup>; -SR<sup>9</sup>; -S(O)R<sup>9</sup>; -SO<sub>2</sub>R<sup>9</sup>; -SO<sub>3</sub>R<sup>9</sup>; -CO<sub>2</sub>R<sup>9</sup>; -CONR<sup>9</sup>R<sup>10</sup>; -SO<sub>2</sub>OM; -SO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>; -PR<sup>9</sup>R<sup>10</sup>; -P(OR<sup>13</sup>)OR<sup>14</sup>; -PO(OR<sup>16</sup>)OR<sup>17</sup>; and -C(O)OM; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;  
provided that at least one of R<sup>30</sup>, R<sup>31</sup> and R<sup>34</sup> is R<sup>32</sup>.

206. A compound of Claim 205 wherein R<sup>32</sup> is phenyl substituted with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

- (C=O)<sub>s</sub>-alkyl-;
- (C=O)<sub>s</sub>-alkyl-NH-;
- (C=O)<sub>s</sub>-alkyl-O-;
- (C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and
- a covalent bond; and

R<sub>33</sub> is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

s and t are independently 0 or 1.

207. A compound of Claim 206 wherein R<sup>32</sup> is phenyl substituted at the para-position with -N(H)-X-R<sup>33</sup> or -O-X-R<sup>33</sup> wherein:

X is selected from the group consisting of:

- (C=O)<sub>s</sub>-alkyl-;
- (C=O)<sub>s</sub>-alkyl-NH-;
- (C=O)<sub>s</sub>-alkyl-O-;
- (C=O)<sub>s</sub>-alkyl-(C=O)<sub>t</sub>; and
- a covalent bond; and

$R^{33}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and  
s and t are independently 0 or 1.

208. A compound of Claim 206 wherein  $R^{32}$  is phenyl substituted at the meta-position with  $-N(H)-X-R^{33}$  or  $-O-X-R^{33}$  wherein:

X is selected from the group consisting of:

$-(C=O)_s\text{-alkyl-}$ ;  
 $-(C=O)_s\text{-alkyl-NH-}$ ;  
 $-(C=O)_s\text{-alkyl-O-}$ ;  
 $-(C=O)_s\text{-alkyl-(C=O)}_t$ ; and  
a covalent bond; and

$R^{33}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and  
s and t are independently 0 or 1.

209. A compound of claim 206 wherein:

$R^{30}$  is  $R^{32}$ ; and

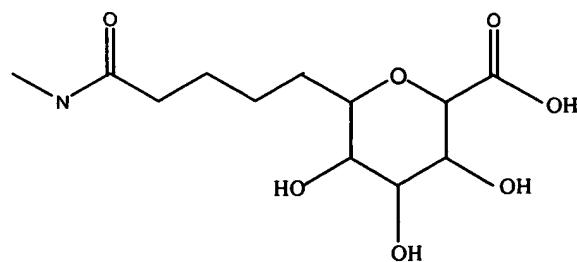
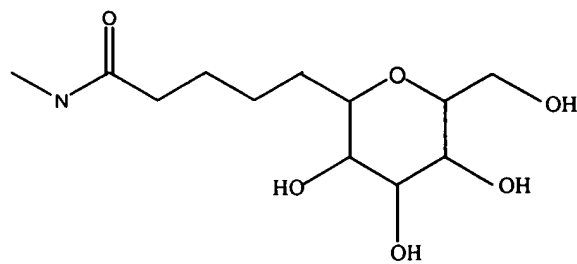
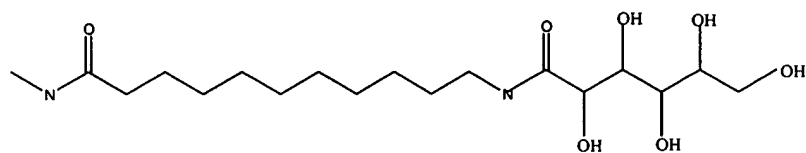
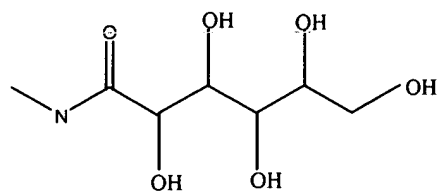
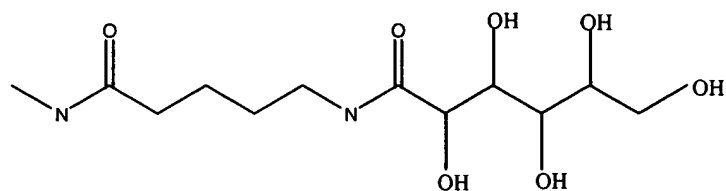
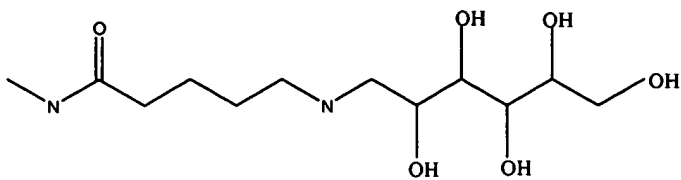
$R^{31}$  is selected from the group consisting of hydrogen and alkyl.

210. A compound of claim 206 wherein:

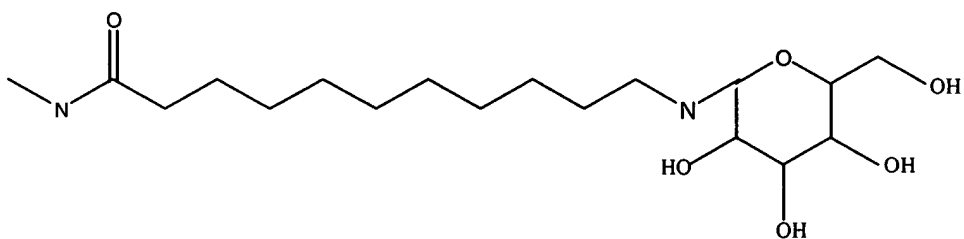
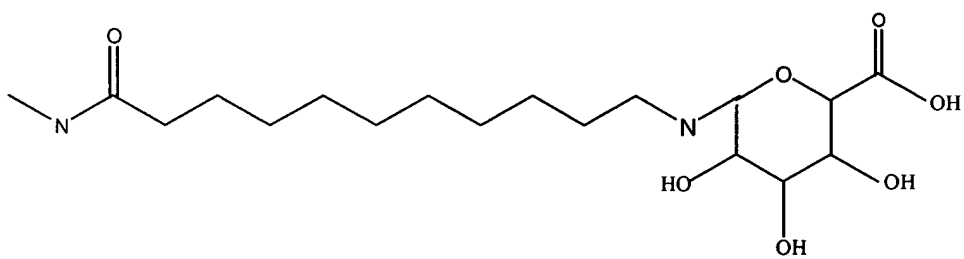
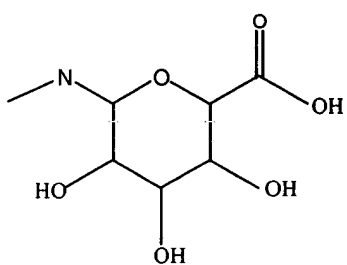
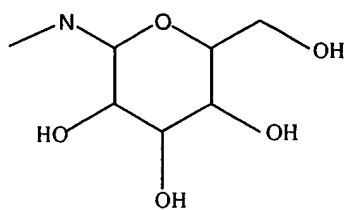
$R^{30}$  is selected from the group consisting of hydrogen and alkyl; and

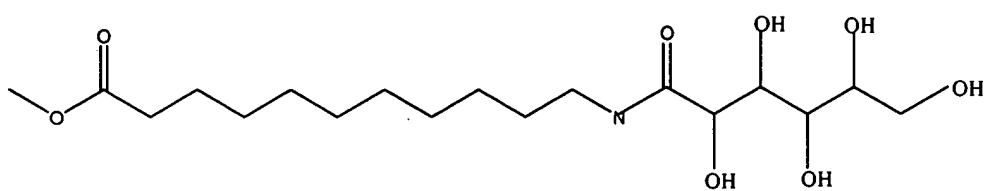
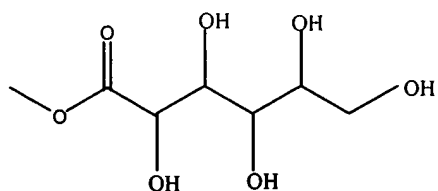
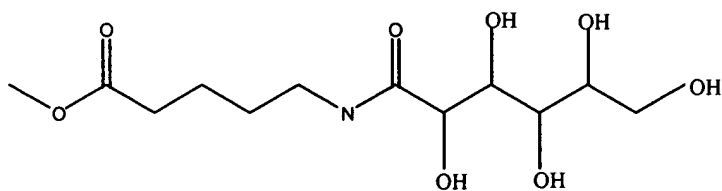
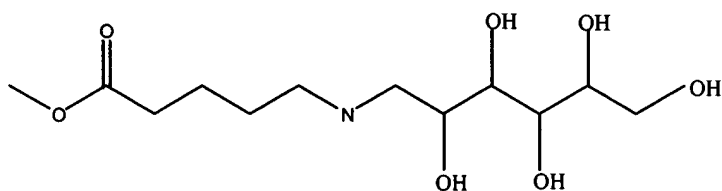
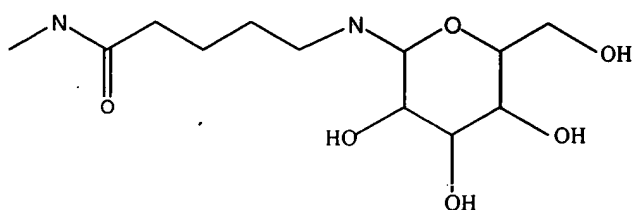
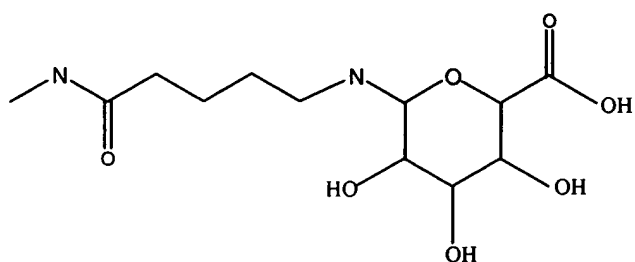
$R^{31}$  is  $R^{32}$ .

211. A compound of claim 206 wherein  $R^{32}$  is phenyl substituted with a radical selected from the group consisting of:

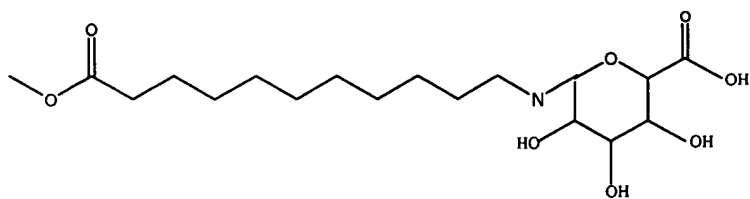
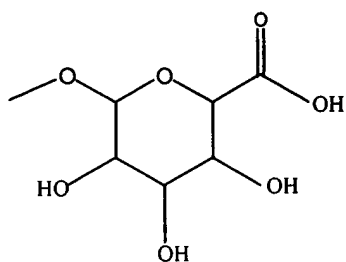
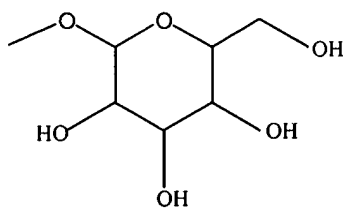
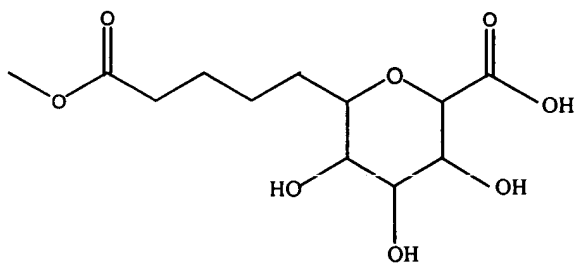
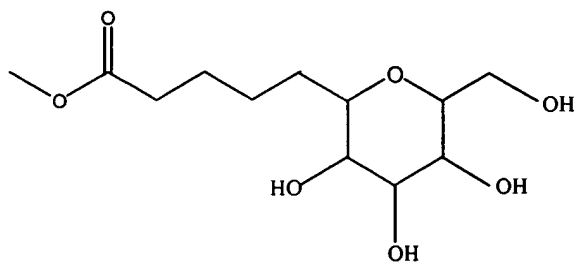


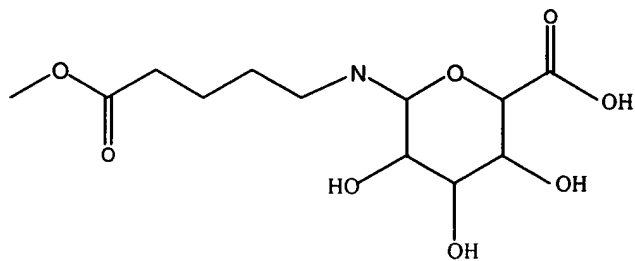
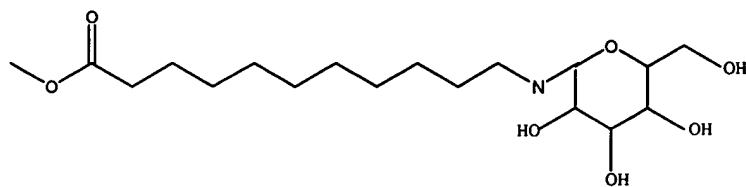
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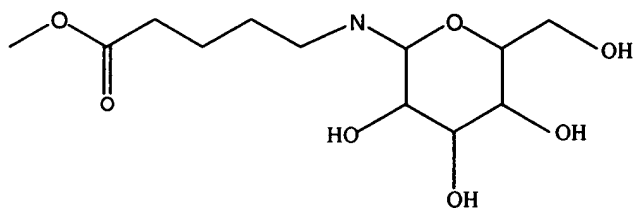


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and



212. A compound of claim 206 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are independently selected from hydrogen and alkyl; and

$R^{2G}$  and  $R^{2H}$  are independently selected from hydrogen and alkyl.

213. A compound of claim 206 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen; and

$R^{2G}$  and  $R^{2H}$  are independently selected from alkyl.

214. A compound of claim 206 wherein:

i is 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen; and

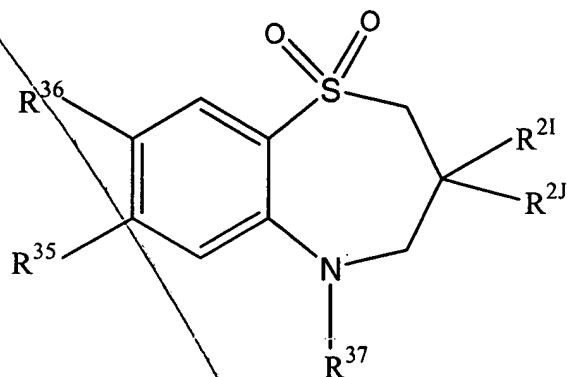
$R^{2G}$  and  $R^{2H}$  are independently selected from ethyl, propyl and butyl.



215. A compound of claim 206 wherein i is 1 or 2.
216. A compound of claim 206 wherein i is 2.
217. A compound of claim 206 wherein  $R^{1C}$  and  $R^{1D}$  are hydrogen.
218. A compound of claim 206 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting of hydrogen and  $C_{1-6}$ alkyl.
219. A compound of claim 206 wherein  $R^{2G}$  and  $R^{2H}$  are independently selected from the group consisting  $C_{1-6}$ alkyl.
220. A compound of claim 206 wherein  $R^{2G}$  and  $R^{2H}$  are the same alkyl.
221. A compound of claim 206 wherein  $R^{2G}$  and  $R^{2H}$  are each n-butyl.
222. A compound of claim 206 wherein one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl.
223. A compound of claim 206 wherein one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
224. A compound of claim 206 wherein  
i is 1 or 2;  
 $R^{1C}$  and  $R^{1D}$  are hydrogen;  
 $R^{2G}$  and  $R^{2H}$  are n-butyl; and  
one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.
225. A compound of claim 206 wherein  
i is 1 or 2;

$R^{1C}$  and  $R^{1D}$  are hydrogen;  
 one of  $R^{2G}$  and  $R^{2H}$  is ethyl and the other of  $R^{2G}$  and  $R^{2H}$  is n-butyl; and  
 one or more  $R^{34}$  are independently selected from methoxy and dimethylamino.

226. A compound of Formula VIII:



VIII

wherein:

$R^{21}$  and  $R^{22}$  are independently selected from  $C_{1-6}$  alkyl; and

$R^{35}$  is selected from the group consisting of halogen and  $R^{38}$ ;

$R^{36}$  is selected from the group consisting of hydroxy, alkoxy, and  $R^{38}$ ;

wherein  $R^{38}$  is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with  $-N(H)-X-R^{39}$  or  $-O-X-R^{39}$  and wherein:

X is selected from the group consisting of:

- $-(C=O)_u$ -alkyl-;
- $-(C=O)_u$ -alkyl-NH-;
- $-(C=O)_u$ -alkyl-O-;
- $-(C=O)_u$ -alkyl- $(C=O)_v$ ; and
- a covalent bond; and

$R^{39}$  is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

u and v are independently 0 or 1; and

$R^{37}$  is unsubstituted phenyl or  $R^{38}$ ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

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provided that at least one of  $R^{35}$ ,  $R^{36}$  and  $R^{37}$  is  $R^{38}$ .

227. A compound of Claim 226 wherein  $R^{38}$  is phenyl substituted with  $-N(H)-X-R^{39}$  or  $-O-X-R^{39}$  wherein:

X is selected from the group consisting of:

- $-(C=O)_u\text{-alkyl-}$ ;
- $-(C=O)_u\text{-alkyl-NH-}$ ;
- $-(C=O)_u\text{-alkyl-O-}$ ;
- $-(C=O)_u\text{-alkyl-(C=O)}_v$ ; and
- a covalent bond; and

$R^{39}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

u and v are independently 0 or 1.

228. A compound of Claim 227 wherein  $R^{38}$  is phenyl substituted at the para-position with  $-N(H)-X-R^{39}$  or  $-O-X-R^{39}$  wherein:

X is selected from the group consisting of:

- $-(C=O)_u\text{-alkyl-}$ ;
- $-(C=O)_u\text{-alkyl-NH-}$ ;
- $-(C=O)_u\text{-alkyl-O-}$ ;
- $-(C=O)_u\text{-alkyl-(C=O)}_v$ ; and
- a covalent bond; and

$R^{39}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

u and v are independently 0 or 1.

229. A compound of Claim 227 wherein  $R^{38}$  is phenyl substituted at the meta-position with  $-N(H)-X-R^{39}$  or  $-O-X-R^{39}$  wherein:

X is selected from the group consisting of:

- $-(C=O)_u\text{-alkyl-}$ ;
- $-(C=O)_u\text{-alkyl-NH-}$ ;

$-(C=O)_u\text{-alkyl-O-}$ ;

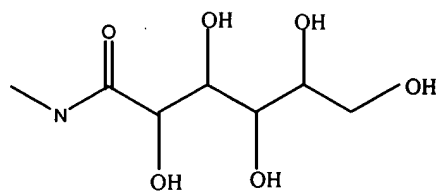
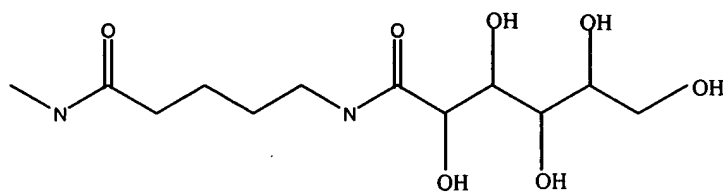
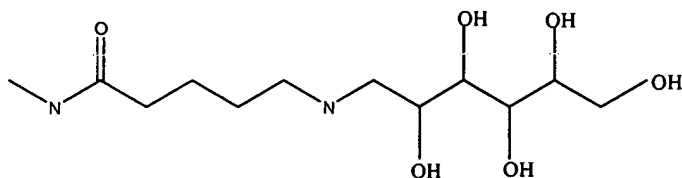
$-(C=O)_u\text{-alkyl-(C=O)}_v$ ; and

a covalent bond; and

$R^{39}$  is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

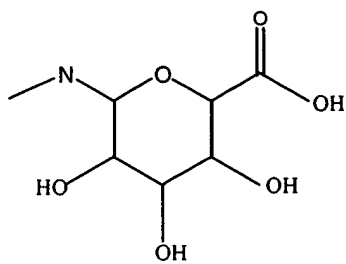
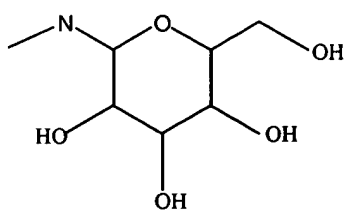
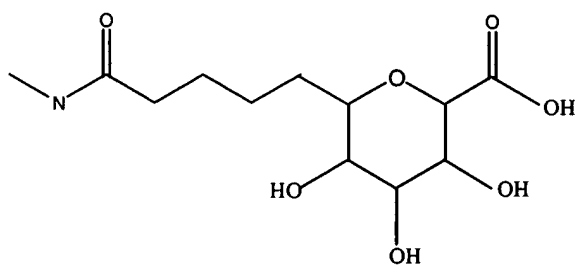
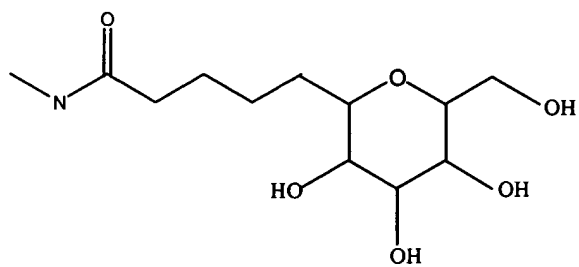
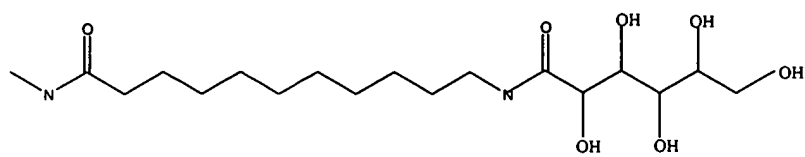
$u$  and  $v$  are independently 0 or 1.

230. A compound of claim 227 wherein  $R^{38}$  is phenyl substituted with a radical selected from the group consisting of:

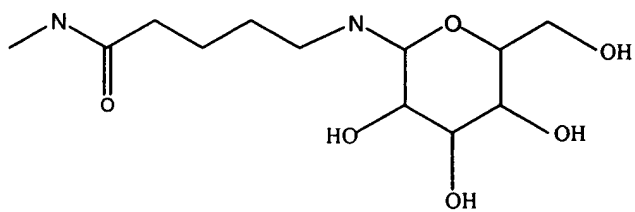
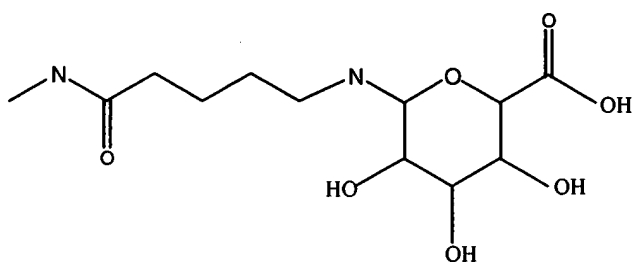
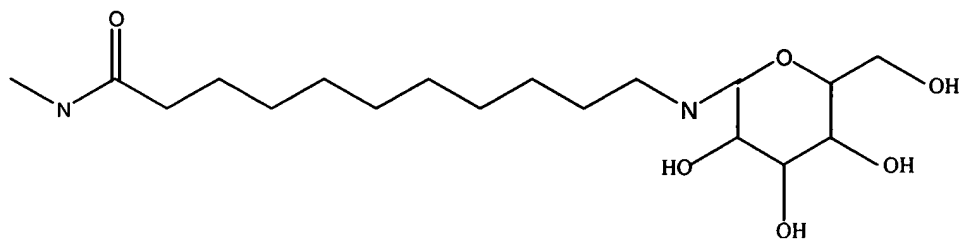
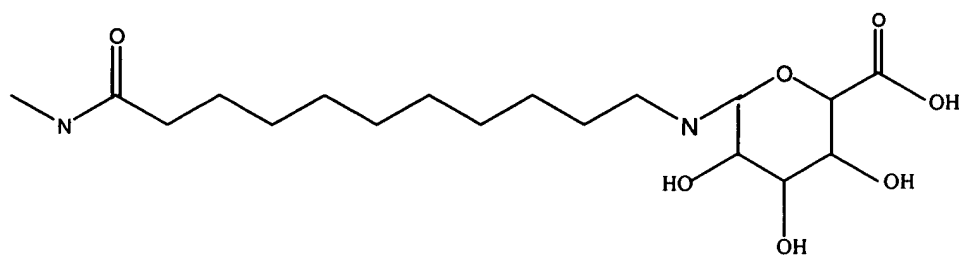


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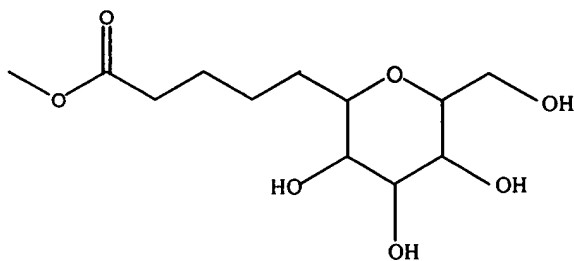
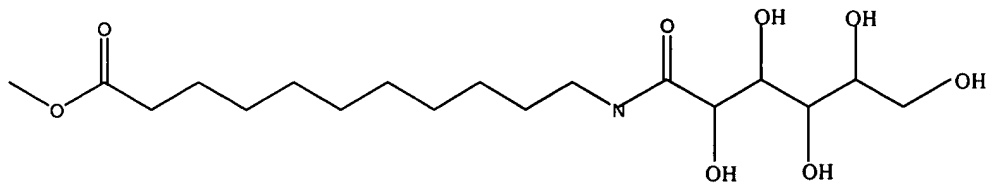
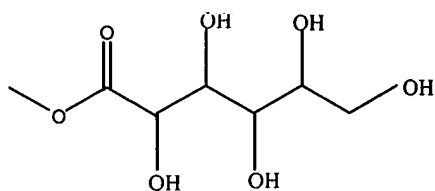
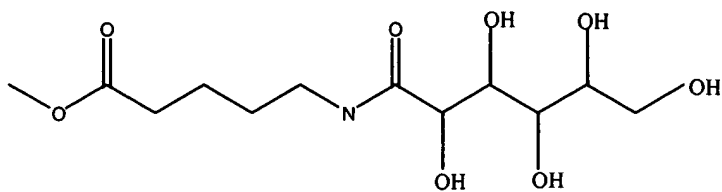
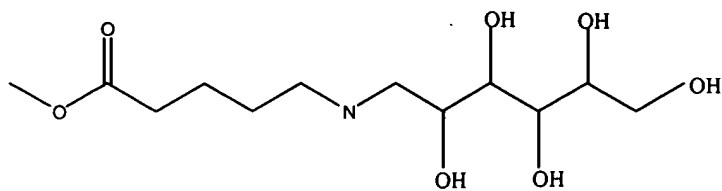
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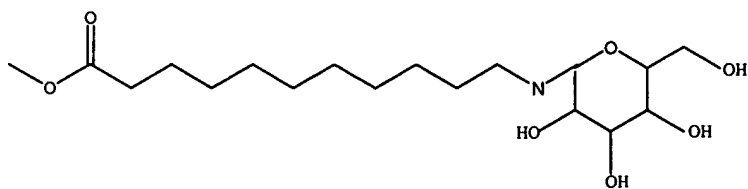
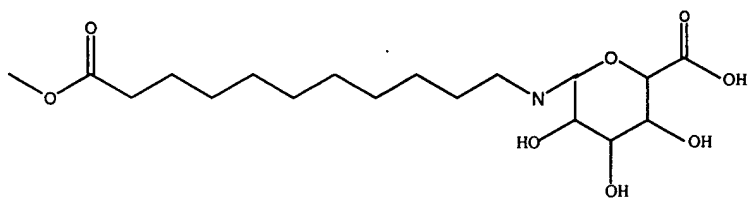
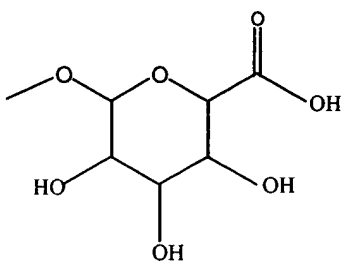
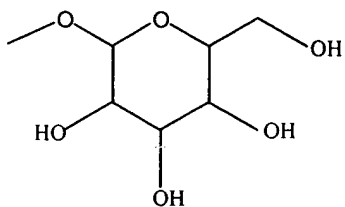
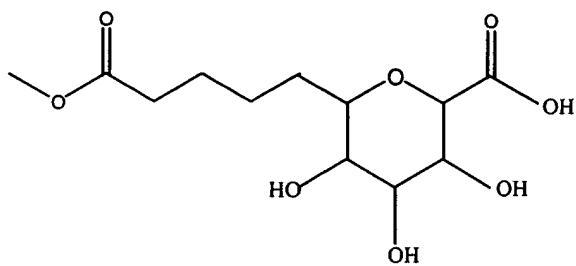


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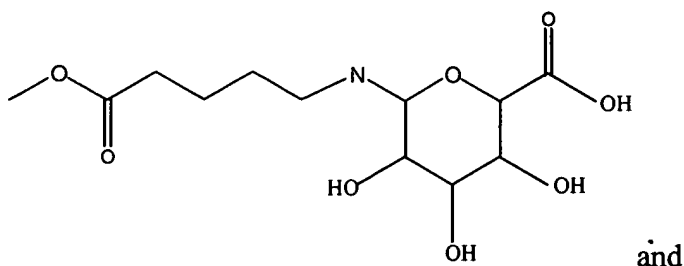


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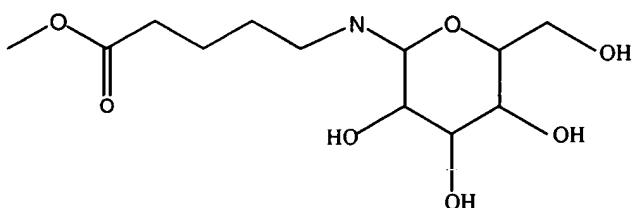








and



231. A compound of claim 227 wherein:

$R^{21}$  and  $R^{2j}$  are independently selected from ethyl and n-butyl;

$R^{35}$  is chloro; and

$R^{36}$  is selected from the group consisting of hydroxy and methoxy.

232. A compound of claim 227 wherein:

$R^{21}$  and  $R^{2j}$  are n-butyl;

$R^{35}$  is chloro; and

$R^{36}$  is selected from the group consisting of hydroxy and methoxy.

233. A compound of claim 227 wherein:

one of  $R^{21}$  and  $R^{2j}$  is ethyl and the other of  $R^{21}$  and  $R^{2j}$  is n-butyl;

$R^{35}$  is chloro; and

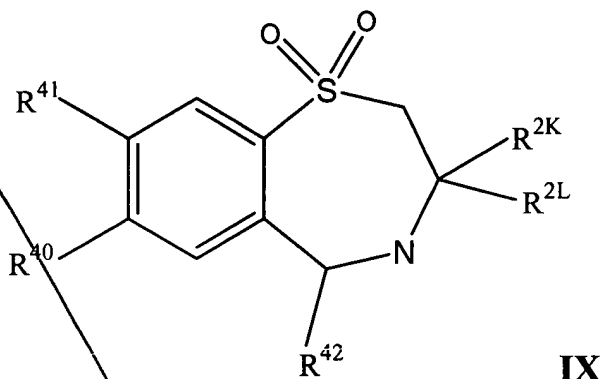
$R^{36}$  is selected from the group consisting of hydroxy and methoxy.

234. A compound of claim 227 wherein  $R^{21}$  and  $R^{2j}$  are the same alkyl.

235. A compound of claim 227 wherein  $R^{21}$  and  $R^{2j}$  are each n-butyl.

236. A compound of claim 227 wherein one of  $R^{21}$  and  $R^{2j}$  is ethyl and the other of  $R^{21}$  and  $R^{2j}$  is n-butyl.

237. A compound of Formula IX:



wherein:

$R^{2K}$  and  $R^{2L}$  are independently selected from  $C_{1-6}$  alkyl; and

$R^{40}$  and  $R^{41}$  are independently selected from the group consisting of hydrogen, alkoxy, and  $R^{43}$ ;

wherein  $R^{43}$  is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with  $-N(H)-X-R^{44}$  or  $-O-X-R^{44}$  and wherein:

X is selected from the group consisting of:

- $-(C=O)_a$ -alkyl-;
- $-(C=O)_a$ -alkyl-NH-;
- $-(C=O)_a$ -alkyl-O-;
- $-(C=O)_a$ -alkyl- $(C=O)_b$ ; and
- a covalent bond; and

$R^{44}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

a and b are independently 0 or 1; and

$R^{42}$  is unsubstituted phenyl or  $R^{43}$ ; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof;

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provided that at least one of  $R^{40}$ ,  $R^{41}$  and  $R^{42}$  is  $R^{43}$ .

238. A compound of Claim 237 wherein  $R^{43}$  is phenyl substituted with -N(H)-X- $R^{44}$  or -O-X- $R^{44}$  wherein:

X is selected from the group consisting of:

- (C=O)<sub>a</sub>-alkyl-;
- (C=O)<sub>a</sub>-alkyl-NH-;
- (C=O)<sub>a</sub>-alkyl-O-;
- (C=O)<sub>a</sub>-alkyl-(C=O)<sub>b</sub>; and
- a covalent bond; and

$R^{44}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

a and b are independently 0 or 1.

239. A compound of Claim 238 wherein  $R^{43}$  is phenyl substituted at the para-position with -N(H)-X- $R^{44}$  or -O-X- $R^{44}$  wherein:

X is selected from the group consisting of:

- (C=O)<sub>a</sub>-alkyl-;
- (C=O)<sub>a</sub>-alkyl-NH-;
- (C=O)<sub>a</sub>-alkyl-O-;
- (C=O)<sub>a</sub>-alkyl-(C=O)<sub>b</sub>; and
- a covalent bond; and

$R^{44}$  is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

a and b are independently 0 or 1.

240. A compound of Claim 238 wherein  $R^{43}$  is phenyl substituted at the meta-position with -N(H)-X- $R^{44}$  or -O-X- $R^{44}$  wherein:

X is selected from the group consisting of:

- (C=O)<sub>a</sub>-alkyl-;
- (C=O)<sub>a</sub>-alkyl-NH-;

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-(C=O)<sub>a</sub>-alkyl-O-;

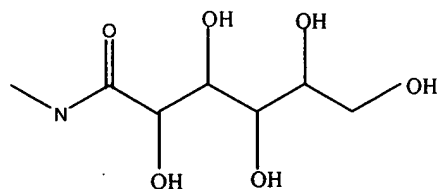
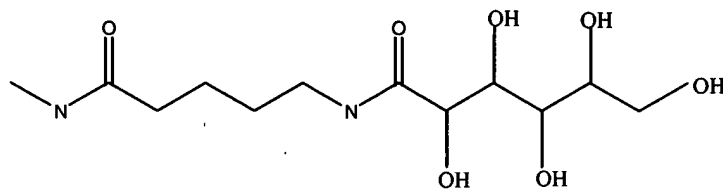
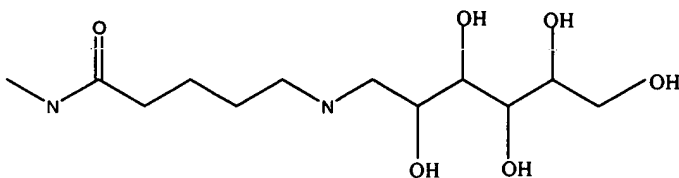
-(C=O)<sub>a</sub>-alkyl-(C=O)<sub>b</sub>; and

a covalent bond; and

R<sup>44</sup> is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides; and

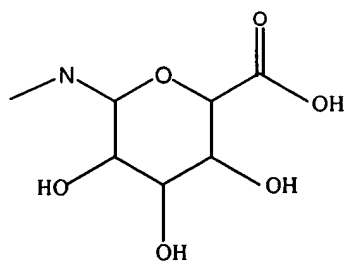
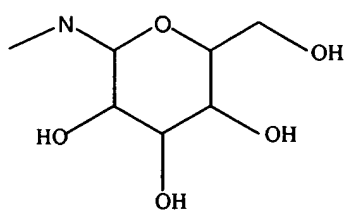
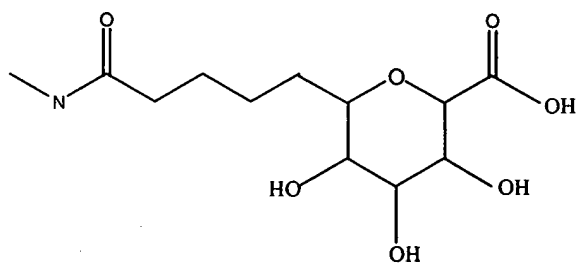
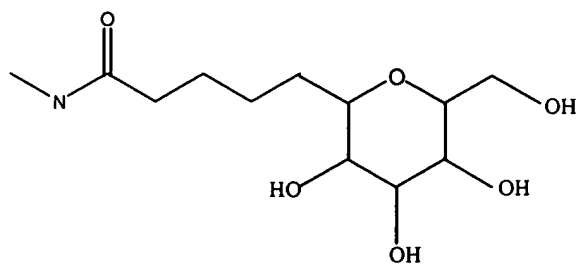
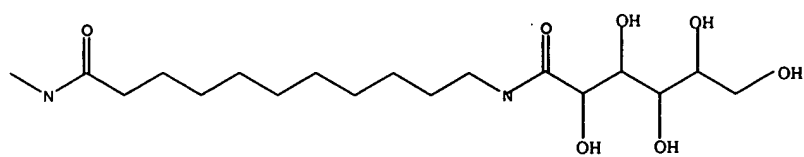
a and b are independently 0 or 1.

241. A compound of claim 238 wherein R<sup>43</sup> is phenyl substituted with a radical selected from the group consisting of:

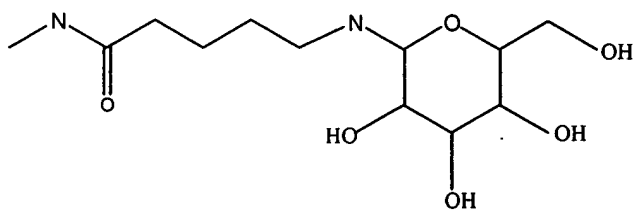
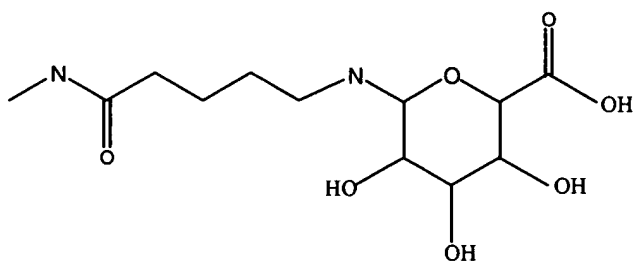
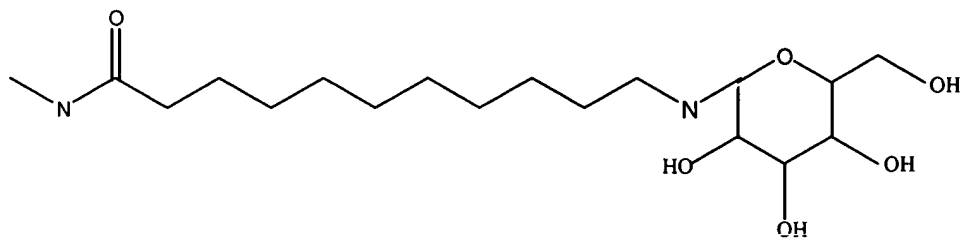
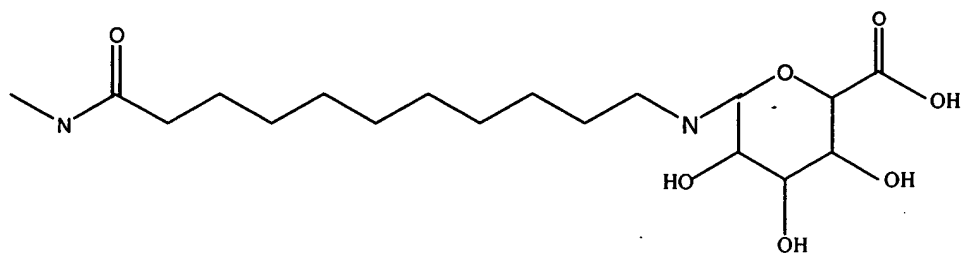


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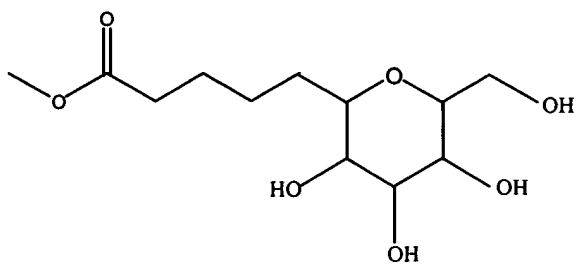
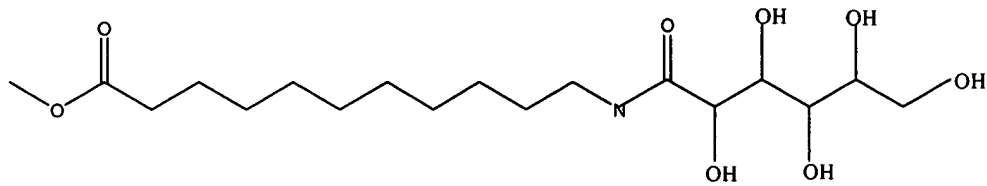
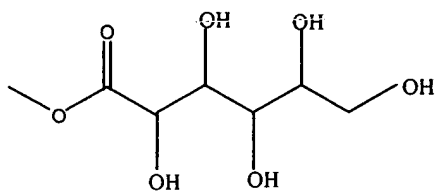
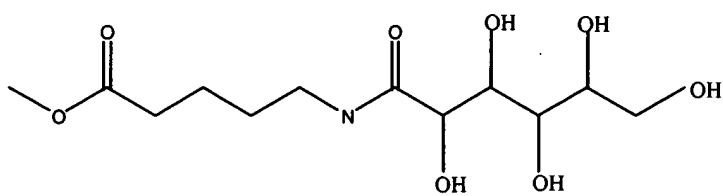
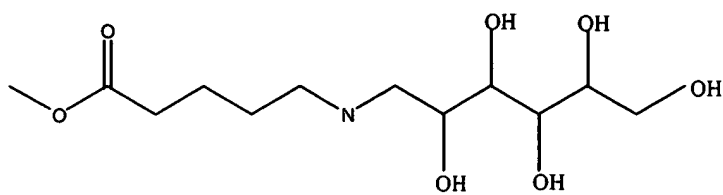
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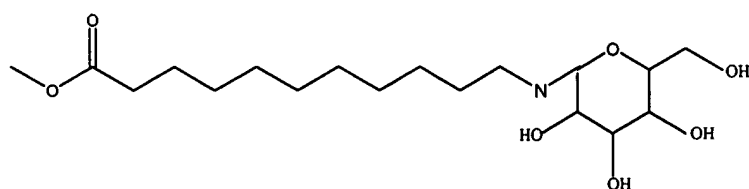
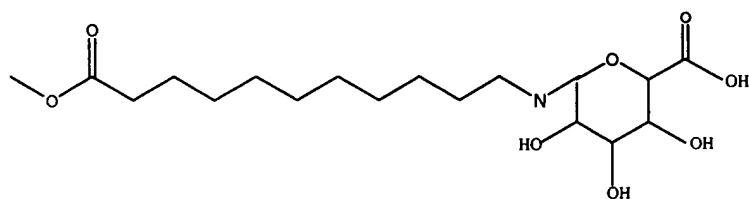
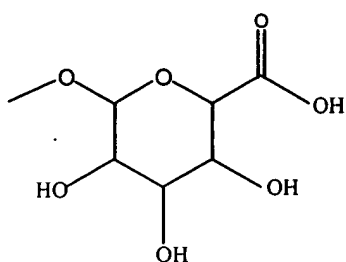
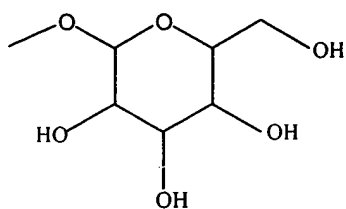
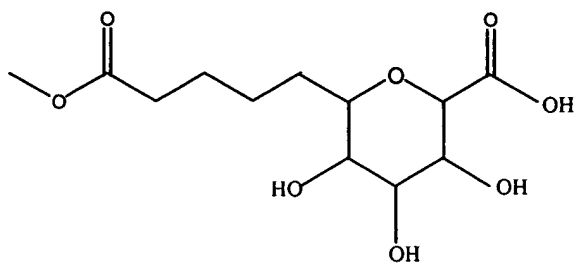
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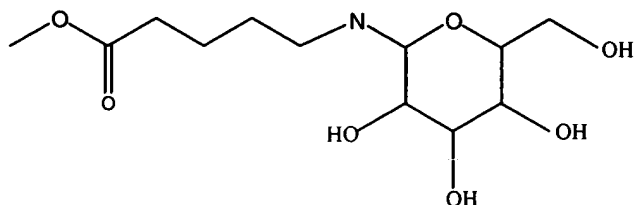
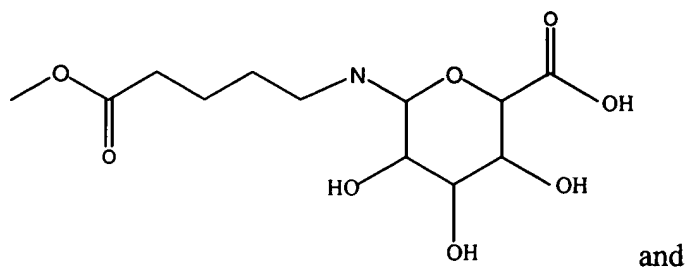
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242. A compound of claim 238 wherein:

$R^{2K}$  and  $R^{2L}$  are independently selected from ethyl and n-butyl; and

$R^{40}$  and  $R^{41}$  are independently selected from hydrogen and methoxy.

243. A compound of claim 238 wherein:

$R^{2K}$  and  $R^{2L}$  are n-butyl; and

$R^{40}$  and  $R^{41}$  are independently selected from hydrogen and methoxy.

244. A compound of claim 238 wherein:

one of  $R^{2K}$  and  $R^{2L}$  is ethyl and the other of  $R^{2K}$  and  $R^{2L}$  is n-butyl; and

$R^{40}$  and  $R^{41}$  are independently selected from hydrogen and methoxy.

245. A compound of claim 238 wherein  $R^{2K}$  and  $R^{2L}$  are the same alkyl.

246. A compound of claim 238 wherein  $R^{2K}$  and  $R^{2L}$  are each n-butyl.

247. A compound of claim 238 wherein one of  $R^{2K}$  and  $R^{2L}$  is ethyl and the other of  $R^{2K}$  and  $R^{2L}$  is n-butyl.

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249. A compound of claim 238 wherein:

one of  $R^{2K}$  and  $R^{2L}$  is ethyl and the other of  $R^{2K}$  and  $R^{2L}$  is n-butyl; and  
 $R^{40}$  and  $R^{41}$  are hydrogen.

249

250. A compound of claim 238 wherein:

one of  $R^{2K}$  and  $R^{2L}$  is ethyl and the other of  $R^{2K}$  and  $R^{2L}$  is n-butyl; and  
 $R^{40}$  and  $R^{41}$  are methoxy.

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251. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula I according to any one of claims 1 to 120, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

251

252. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula III according to any one of claims 121 to 140, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

252

253. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula V according to any one of claims 141 to 162, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

253

254. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VII according to any one of claims 163 to 225, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

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255. A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of

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Formula VIII according to any one of claims 226 to 236, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

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~~255~~  
~~256.~~ A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula IX according to any one of claims 237 to 250, or a pharmaceutically acceptable salt, solvate or prodrug thereof.

~~256~~  
~~257.~~ The method of claim 251 wherein the hyperlipidemic condition is atherosclerosis.

~~257-258.~~ A pharmaceutical composition comprising a compound of Formula I according to any one of claims 1 to 120 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

~~258~~  
~~259.~~ A pharmaceutical composition comprising a compound of Formula III according to any one of claims 121 to 140 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

~~259~~  
~~260.~~ A pharmaceutical composition comprising a compound of Formula V according to any one of claims 141 to 162 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

~~260~~  
~~261.~~ A pharmaceutical composition comprising a compound of Formula VII according to any one of claims 163 to 225 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

~~261~~  
~~262.~~ A pharmaceutical composition comprising a compound of Formula VIII according to any one of claims 226 to 236 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

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<sup>262</sup>263. A pharmaceutical composition comprising a compound of Formula IX according to any one of claims 237 to 250 or a pharmaceutically acceptable salt, solvate or prodrug thereof, and a pharmaceutically acceptable carrier.

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